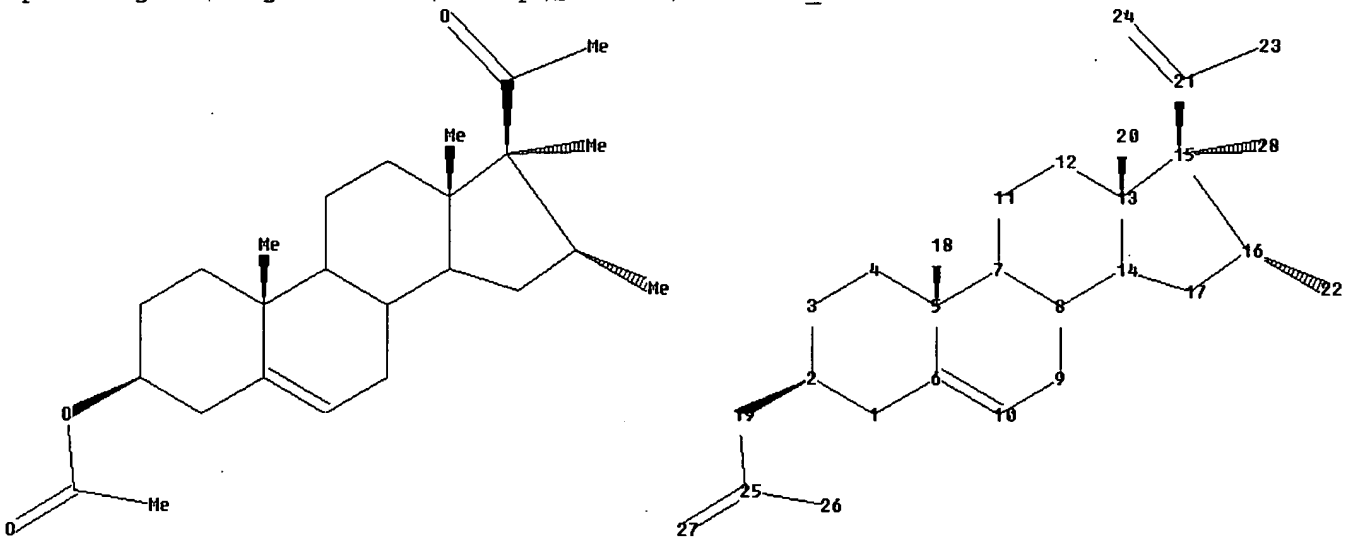
FILE 'HOME' ENTERED AT 10:17:43 ON 08 JAN 2007

=> **file registry**
=>

COMPOUND V

Uploading C:\Program Files\Stnexp\Queries\10758335_V.str




chain nodes :
18 19 20 21 22 23 24 25 26 27 28
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
2-19 5-18 13-20 15-21 15-28 16-22 19-25 21-23 21-24 25-26 25-27
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-14 9-10 11-12 12-13
13-14 13-15 14-17 15-16 16-17
exact/norm bonds :
1-2 1-6 2-3 2-19 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-14 9-10 11-12
12-13 13-14 13-15 14-17 15-16 16-17 19-25 21-24 25-27
exact bonds :
5-18 13-20 15-21 15-28 16-22 21-23 25-26

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS

Stereo Bonds:

18-5 (Single Wedge).
19-2 (Single Wedge).
20-13 (Single Wedge).
21-15 (Single Wedge).
22-16 (Single Hash).
28-15 (Single Hash).

Stereo Chiral Centers:

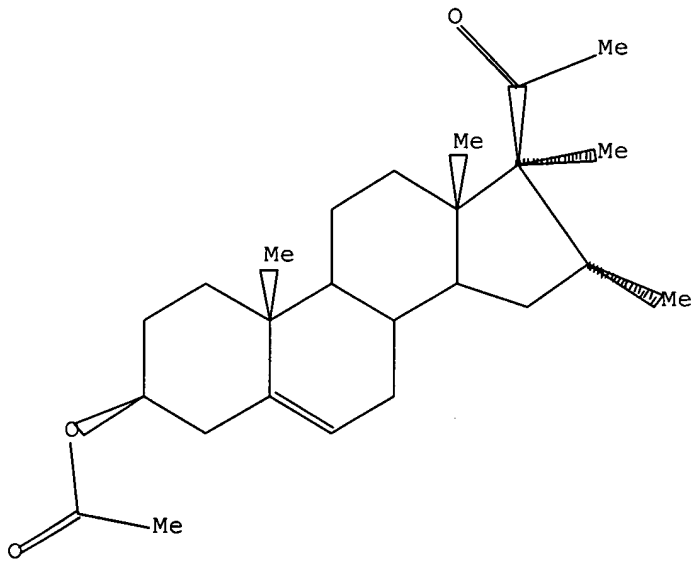
2 (Parity=Odd)

5    (Parity=Even)
13    (Parity=Even)
15    (Parity=Odd)
16    (Parity=Even)

Stereo RSS Sets:

Type=Relative (Default). 5 Nodes= 2 5 13 15 16
L1      STRUCTURE UPLOADED

=> **d l1**
L1 HAS NO ANSWERS
L1              STR




Structure attributes must be viewed using STN Express query preparation.

=> **s l1**
SAMPLE SEARCH INITIATED 10:18:24 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      111 TO ITERATE

100.0% PROCESSED      111 ITERATIONS                                    0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          1588 TO     2852
PROJECTED ANSWERS:                0 TO        0

L2            0 SEA SSS SAM L1

=> **s l1 exa full**
FULL SEARCH INITIATED 10:18:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -       20 TO ITERATE

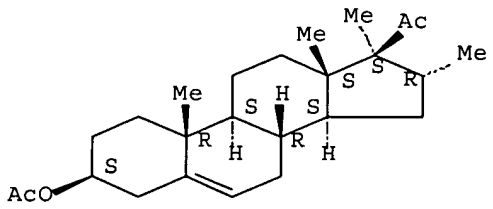
100.0% PROCESSED       20 ITERATIONS                                    1 ANSWERS
SEARCH TIME: 00.00.01

L3 1 SEA EXA FUL L1

=> **d l3**

L3 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN
RN 13116-52-4 REGISTRY
ED Entered STN: 16 Nov 1984
CN Pregn-5-en-20-one, 3-(acetyloxy)-16,17-dimethyl-, (3β,16α)-
(9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Pregn-5-en-20-one, 3β-hydroxy-16α,17-dimethyl-, acetate (7CI,
8CI)
OTHER NAMES:
CN 16α,17α-Dimethylpregnenolone acetate
FS STEREOSEARCH
MF C25 H38 O3
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, CASREACT, CHEMCATS, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry.




**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

8 REFERENCES IN FILE CA (1907 TO DATE)
8 REFERENCES IN FILE CAPLUS (1907 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> **file medline, caplus, wpids, uspatfull**

=> **s l3**
SAMPLE SEARCH INITIATED 10:18:56 FILE 'WPIDS'
SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2 TO 62
PROJECTED ANSWERS: 0 TO 0

L4 9 L3

=> **d l4 1-9 ibib, abs, hitstr**

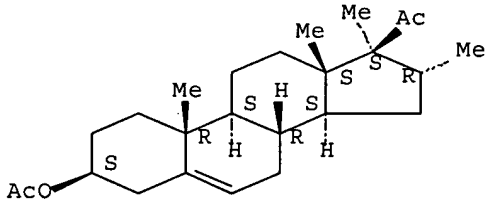
L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:32514 CAPLUS Full-text
DOCUMENT NUMBER: 138:304436
TITLE: Synthesis of 3β-hydroxy-16α,17α,21-trimethylpregn-5-en-20-one
AUTHOR(S): Wang, Li; Zhu, Cui-Hong; Zhang, Xiang-Wen; Mi, Zhen-Tao
CORPORATE SOURCE: School of Chemical Engineering and Technology, Tianjin University, Tianjin, 300072, Peop. Rep. China
SOURCE: Yingyong Huaxue (2002), 19(12), 1189-1191
CODEN: YIHUED; ISSN: 1000-0518
PUBLISHER: Yingyong Huaxue Bianji Weiyuanhui
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 138:304436

AB Title compound was synthesized from 3α-acetoxypregna-5,16-dien-20-one via methylation with methylmagnesium bromide followed with Me iodide giving product with yield 78%. LHDMS ([(CH3)3Si]2NLi) and LDA ([(CH3)2CH]2NLi) were chosen as proper reagents for 21-position alkylation. The product was characterized by elemental anal., 1H NMR, MS and IR.

IT 13116-52-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 3β-hydroxy-16α,17α,21-trimethylpregn-5-en-20-one)

RN 13116-52-4 CAPLUS
CN Pregn-5-en-20-one, 3-(acetyloxy)-16,17-dimethyl-, (3β,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:221159 CAPLUS Full-text
DOCUMENT NUMBER: 136:257280
TITLE: Methods and compositions that affect melanogenesis
INVENTOR(S): Orlow, Seth J.; Hall, Andrea; Manga, Prashiela
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 63 pp., Cont.-in-part of U. S. Ser. No. 599,487.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

| | | | | | |
|---|---|---|---|---|---|
| US 2002034772 | A1 | 20020321 | US 2001-827428 | | 20010406 |
| WO 2002098347 | A2 | 20021212 | WO 2002-US11067 | | 20020408 |
| WO 2002098347 | A3 | 20030501 | | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: | AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | |
| EP 1383474 | A2 | 20040128 | EP 2002-776548 | | 20020408 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004529975 | T | 20040930 | JP 2003-501389 | | 20020408 |
| TW 235659 | B | 20050711 | TW 2002-91107018 | | 20020408 |
| US 2004175767 | A1 | 20040909 | US 2004-758335 | | 20040115 |
| US 2006188953 | A1 | 20060824 | US 2006-408108 | | 20060420 |
| PRIORITY APPLN. INFO.: | | | US 1999-141563P | P | 19990629 |
| | | | US 2000-599487 | A2 | 20000623 |
| | | | US 2001-827428 | A | 20010406 |
| | | | WO 2002-US11067 | W | 20020408 |
| | | | US 2004-758335 | A3 | 20040115 |

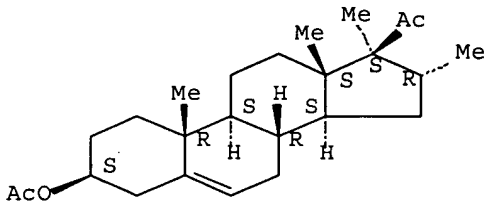
AB The invention provides methods of screening for compds. that affect melanogenesis and the function of P protein in organisms, cells, or cell-free systems. The invention further relates to pharmacol. and cosmetic uses of methods of inhibiting melanogenesis, methods of activating melanogenesis, and compds. and pharmacol. compns. useful for the inhibition or activation of melanogenesis and, therefore, for lightening or darkening the pigmentation of cells and tissue, i.e., skin.

IT 13116-52-4
RL: COS (Cosmetic use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods and compns. that affect melanogenesis)

RN 13116-52-4 CAPLUS

CN Pregn-5-en-20-one, 3-(acetyloxy)-16,17-dimethyl-, (3β,16α)- (9CI) (CA INDEX NAME)

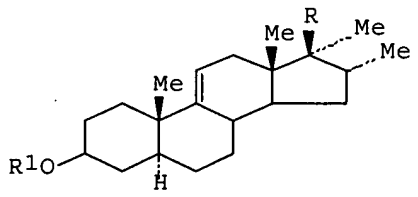
Absolute stereochemistry.



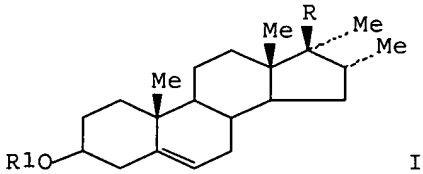

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

| | |
|---|---|
| ACCESSION NUMBER: | 1982:456114 CAPLUS Full-text |
| DOCUMENT NUMBER: | 97:56114 |
| TITLE: | Alkylated steroids. Part 5. Formation of 17β-acetylenic steroids from hindered 20-oxo compounds via Grignard derived enolates |
| AUTHOR(S): | Logan, Robert T.; Roy, Robert G.; Woods, Gilbert F. |

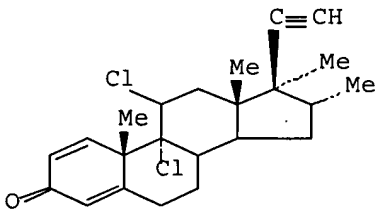
CORPORATE SOURCE: Organon Sci. Dev. Group, Ornanon Lab. Ltd., Newhouse, ML1 5SH, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1982), (4), 1079-84
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



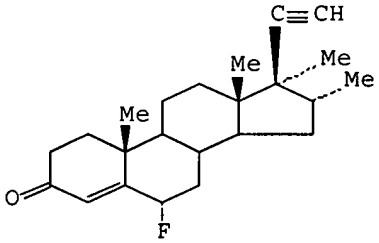

I




III




V




VI

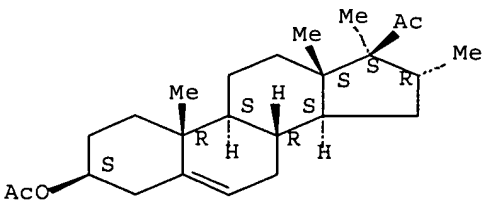
AB Pregnenynol I (R = C.tplbond.CH, R1 = H) (II) was prepared by treatment of I (R = CO2Me, R1 = Ac; R = COMe, COCH2Br, R1 = H) with MeMgX (X = Cl, Br) in C6H6 or THF followed by refluxing in anisole. III (R = COMe, R1 = Ac) similarly gave III (R = C.tplbond.CH, R1 = H) (IV). The mechanisms of these reactions is discussed in relation to the steric hindrance of the 16- and 17-Me groups. II and IV were converted to pregnenynones V and VI, resp., in 3 and 5 steps, resp.

IT 13116-52-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(Grignard reaction of, with halomethane)

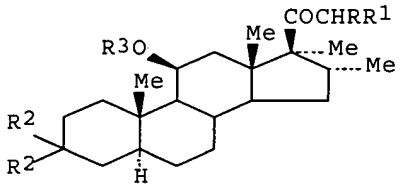
RN 13116-52-4 CAPLUS

CN Pregn-5-en-20-one, 3-(acetyloxy)-16,17-dimethyl-, (3β,16α)- (9CI) (CA INDEX NAME)

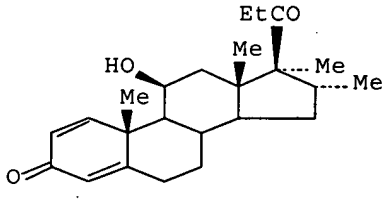
Absolute stereochemistry.



L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:35625 CAPLUS Full-text
DOCUMENT NUMBER: 96:35625
TITLE: Alkylated steroids. Part 3. The 21-alkylation of 20-oxopregnanes and synthesis of a novel antiinflammatory 16α,17α,21-trimethyl steroid (Org 6216)
AUTHOR(S): Cairns, James; Logan, Robert T.; McGarry, George; Roy, Robert G.; Stevenson, Donald F. M.; Woods, Gilbert F.
CORPORATE SOURCE: Organon Sci. Dev. Group, Organon Lab. Ltd., Newhouse, ML1 5SH, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1981), (8), 2306-16
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 96:35625
GI




I




III

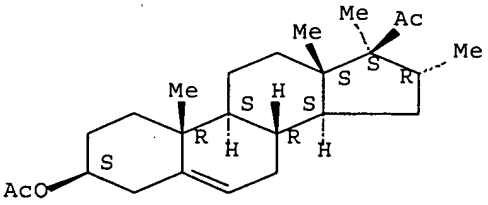
AB The alkylation at C-21 of steroidal derivs. via the Li 20(21)-enolate is described, and a number of C-21 alkylpregnane derivs. were prepared E.g., reaction of the pregnane acetal I (R = R1 = H, R2 = OMe, R3 = Ac) with LiN(CHMe2)2 (THF, -50 to -45°) then Me iodide (room temperature, 30 min) followed by deprotection gave 85% I (R = Me, R1 = H, R2R2 = O, R3 = COEt) (II). Sequential bromination, dehydrobromination, oxidation, and hydrolysis of II gave methylpregnadienone ( Org 6216) III in 75% overall yield.

IT 13116-52-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(bromination of)

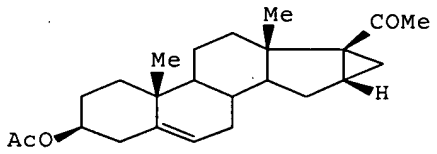
RN 13116-52-4 CAPLUS

CN Pregn-5-en-20-one, 3-(acetyloxy)-16,17-dimethyl-, (3β,16α)- (9CI) (CA INDEX NAME)

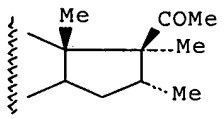
Absolute stereochemistry.



L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1981:604267 CAPLUS Full-text
DOCUMENT NUMBER: 95:204267
TITLE: Transformed steroids. 122. Functionalization of the carbon-17 center of 2-keto steroids via reduction cleavage of the 16α,17α-cyclopropane ring
AUTHOR(S): Kamernitskii, A. V.; Kulikova, L. E.; Levina, I. S.
CORPORATE SOURCE: Inst. Org. Khim., Moscow, USSR
SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1981), (6), 1384-7
CODEN: IASKA6; ISSN: 0002-3353
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



I

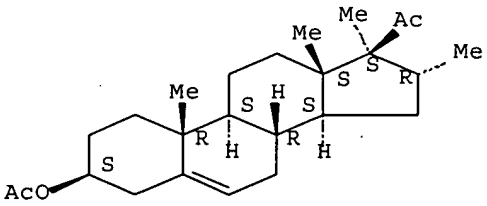


II

AB Reductive ring cleavage of 16,17-cyclopropano-20-oxopregnanes by Li in NH3(l) and subsequent treatment with electrophilic reagents resulted in regiospecific and stereospecific functionalization of C-17. Thus, treatment of cyclopropanopregnene I with Li in NH3(l) and then successive treatment with MeI, aqueous NH4Cl, and Ac2O in pyridine gave methylpregnenone II.

IT 13116-52-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 13116-52-4 CAPLUS
CN Pregn-5-en-20-one, 3-(acetyloxy)-16,17-dimethyl-, (3β,16α)- (9CI) (CA INDEX NAME)

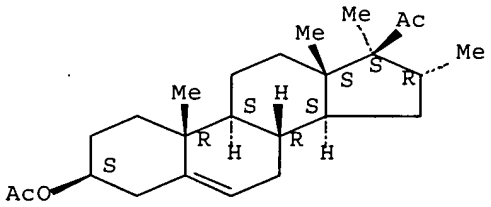
Absolute stereochemistry.




L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1973:148118 CAPLUS Full-text
DOCUMENT NUMBER: 78:148118
TITLE: Preparation of formates of isomeric pregnane 5,6-bromohydrins

AUTHOR(S): Bayunova, V. I.; Akalaev, A. N.; Pakhomov, V. P.; Grinenko, G. S.
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, USSR
SOURCE: Khimiya Prirodnykh Soedinenii (1973), 9(1), 39-45
CODEN: KPSUAR; ISSN: 0023-1150
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB Pregnenolone acetate was treated with aqueous HOBr in DMF to yield bromopregnanediol formates I and II and pregnanetriol acetate III (R = R1 = H). Similarly, 16,17-dimethyl-pregnenolone acetate (IV) gave I and II (R = R1 = Me); and 16,17-epoxypregnenolone acetate yielded I, II (RR1 = O) and 5α-bromo-16,17-epoxy-6β-hydroxypregnenolone 3-acetate. IV was treated with aqueous HOBr in EtOAc to give the bromohydroxy- pregnanones V and VI (R = R1 = Me). The 5,6-bromohydrin compds. yielded 5,6-epoxy derivs. when treated with KOAc or Kk2CO3.
IT 13116-52-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with hypobromous acid and DMF)
RN 13116-52-4 CAPLUS
CN Pregn-5-en-20-one, 3-(acetyloxy)-16,17-dimethyl-, (3β,16α)- (9CI) (CA INDEX NAME)

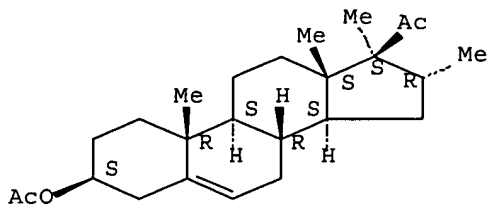
Absolute stereochemistry.




L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1967:444004 CAPLUS Full-text
DOCUMENT NUMBER: 67:44004
TITLE: Synthesis of 17-bromo-16α-methylprogesterones
AUTHOR(S): Reimann, Hans; Sarre, Olga Z.
CORPORATE SOURCE: Schering Corp., Bloomfield, NJ, USA
SOURCE: Journal of Organic Chemistry (1967), 32(7), 2321-4
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB In situ bromination of 16α-methylpregnane 20-magnesium enolates gives a mixture of the 17α-bromo- and 17β-bromo-20-oxo derivs. Utilizing this reaction, 17α-bromo-16α-methylprogesterone (II) and 17β-bromo-16α-methyl-17-isoprogesterone (I) were prepared from 16-dehydropregnenolone acetate (III). 21 references.
IT 13116-52-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 13116-52-4 CAPLUS
CN Pregn-5-en-20-one, 3-(acetyloxy)-16,17-dimethyl-, (3β,16α)-

(9CI) (CA INDEX NAME)

Absolute stereochemistry.




L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1963:455330 CAPLUS Full-text
DOCUMENT NUMBER: 59:55330
ORIGINAL REFERENCE NO.: 59:10161f-h,10162a
TITLE: Gas chromatography of selected pregnenes and pregnanes
AUTHOR(S): Nelson, J. P.
CORPORATE SOURCE: Gen. Mills Res. Labs., Minneapolis, MN
SOURCE: Journal of Gas Chromatography (1963), 1(3), 27-9
CODEN: JGCRAY; ISSN: 0096-2686
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Relative retention times on SE-30 silicone gum and on QF-1-0065 fluorinated silicone both at 221° are given: (SE-30, QF-1-0065) for 5β-16-pregnene-3β-ol-20-one (0.55, 2.17) and acetate (0.75, 3.78), 16-dehydropregnenolone (0.58, 2.42) and acetate (0.86, 4.04), pregnenolone (0.63, 2.76) and acetate (0.94, 4.81), 16α- methylpregnenolone (0.64, 2.64) and acetate (0.93, 4.16), 16α,17α-epoxypregnenolone (0.66, 2.96), 16-methyl-16- dehydropregnenolone (0.74, 3.10) and acetate (1.12, 5.31), 5β-pregnane-3β,17α-diol-20-one (0.86, 3.45), 17α-hydroxypregnenolone (0.88, 4.13) and acetate (1.25, 6.93), 5α,6α-epoxy-5α-pregnan-3β-ol-20-one (0.92, 5.88) and acetate (1.41, 11.4), 6β,16α-dimethyl-5α-pregnane- 3β,5α-diol-20-one (1.29, 6.41) and acetate (1.29, 10.8), 6β-methyl-5αpregnane-3β,5α-diol-20-one (1.31, 7.13), 16α,17α-dimethylpregnenolone acetate (1.00, 5.10), 6,16α-dimethylpregnenenolone acetate (1.07, 4.20), 16β-methylpregnenenolone acetate (108, 4.40), 5β,6β- epoxypregnan-3β-ol-20-one 3-acetate (1.23, decompose), 5α,6α-epoxy-16-pregnen-3β-ol-20-one 3-acetate (1.32, 10.5), 5α-pregnane-3β,17α-diol-20-one 3-acetate (1.32, 7.42), 5α,6α: 16α, 17α-diepoxy-5α-pregnan- 3β-ol-20-one-3 acetate (15.0, not eluted), 20,20-ethylenedioxypregnenenolone acetate (1.79, 4.30), 16α-methyl-20,20-ethylenedioxypregnenenolone acetate (1.85, 4.20), 16α-methyl- 5α,6α-epoxy-5α-pregnane-3β,17αdiol-20-one 3-acetate (2.44, not eluted), 5α,6α-epoxy-20,20-ethylenedioxy- 5α-pregnan-3β-ol 3-acetate (2.80, 11.5), 5α,6αepoxy- 16α-methyl-20,20-ethylenedioxy- 5α-pregnan-3β-ol 3-acetate (2.85, 10.7). Relative retention times are given by the formula x = y + a + b + ..... + n where x is the time of the substance to be calculated, y that of the parent substance, and a, b, ... n, the contributions of substituent groups as follows (acetates): 16α-methyl (-0.01, -0.65), Δ16 (-0.08, -0.77), 16α,17α-epoxy (0.06, 0.29), 16β-methyl (0.14, -0.41), 17α-hydroxy (0.31, 2.12), 5α,6α-epoxy (0.48, 6.59), 20,29-ethylenedioxy (0.85, -0.51), 6-methyl (0.14, 0.04); (alcohols): 16α-methyl (0.01, -0.12), Δ16 (-0.05, -0.34), 17α-hydroxy (0.25, 1.37), 5α,6α-epoxy (0.29, 3.12). Results are within 1.5% of exptl. values,

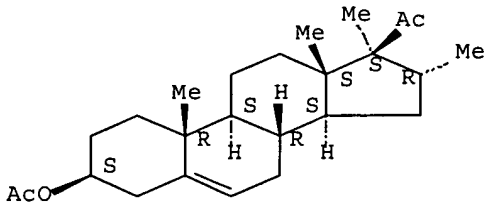
except in the case of 5α,6α-epoxy-20,20-ethylenedioxy- 5α-pregnan-3β-ol 3-acetate on SE-30 which is 23% in error.

IT 13116-52-4, Pregn-5-en-20-one, 3β-hydroxy-16α,17-dimethyl-, acetate
(chromatography of)

RN 13116-52-4 CAPLUS

CN Pregn-5-en-20-one, 3-(acetyloxy)-16,17-dimethyl-, (3β,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L4 ANSWER 9 OF 9 USPATFULL on STN

| | |
|---|---|
| ACCESSION NUMBER: | 2002:60938 USPATFULL Full-text |
| TITLE: | Methods and compositions that affect melanogenesis |
| INVENTOR(S): | Orlow, Seth J., New York, NY, UNITED STATES<br>Hall, Andrea, New York, NY, UNITED STATES<br>Manga, Prashiela, New York, NY, UNITED STATES |

| | NUMBER | KIND | DATE |
|---|---|---|---|
| PATENT INFORMATION: | US 2002034772 | A1 | 20020321 |
| APPLICATION INFO.: | US 2001-827428 | A1 | 20010406 (9) |
| RELATED APPLN. INFO.: | Continuation-in-part of Ser. No. US 2000-599487, filed on 23 Jun 2000, PENDING | | |

| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | US 1999-141563P | 19990629 (60) |

| | |
|---|---|
| DOCUMENT TYPE: | Utility |
| FILE SEGMENT: | APPLICATION |
| LEGAL REPRESENTATIVE: | ANN-LOUISE KERNER, PH.D., HALE AND DORR LLP, 60 STATE STREET, BOSTON, MA, 02109 |
| NUMBER OF CLAIMS: | 92 |
| EXEMPLARY CLAIM: | 1 |
| NUMBER OF DRAWINGS: | 19 Drawing Page(s) |
| LINE COUNT: | 4216 |

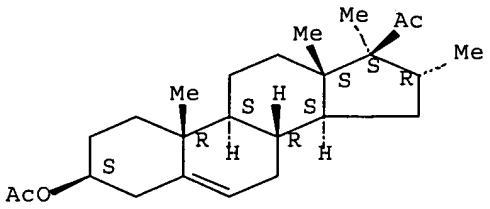
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides methods of screening for compounds that affect melanogenesis and the function of P protein in organisms, cells, or cell-free systems. The invention further relates to pharmacologic and cosmetic uses of methods of inhibiting melanogenesis, methods of activating melanogenesis, and compounds and pharmacologic compositions useful for the inhibition or activation of melanogenesis and, therefore, for lightening or darkening the pigmentation of cells and tissue, i.e., skin.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 13116-52-4
(methods and compns. that affect melanogenesis)
RN 13116-52-4 USPATFULL
CN Pregn-5-en-20-one, 3-(acetyloxy)-16,17-dimethyl-, (3β,16α)-
(9CI) (CA INDEX NAME)

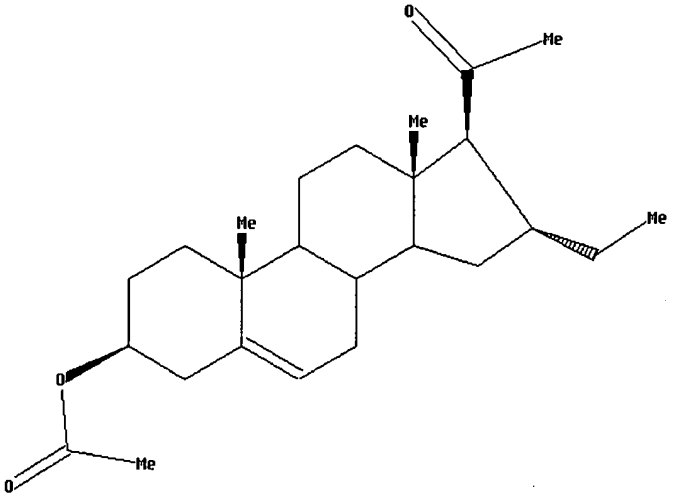
Absolute stereochemistry.

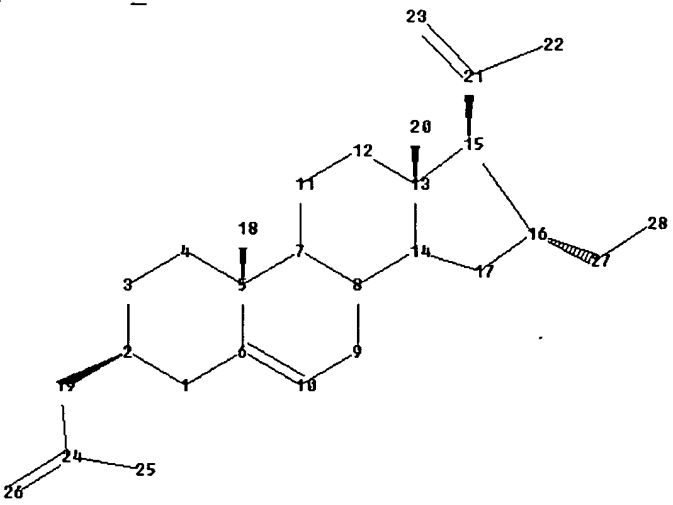



=> **file registry**

COMPOUND VI

=>
Uploading C:\Program Files\Stnexp\Queries\10758335_VI.str




chain nodes :
18 19 20 21 22 23 24 25 26 27 28
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
2-19 5-18 13-20 15-21 16-27 19-24 21-22 21-23 24-25 24-26 27-28
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-14 9-10 11-12 12-13
13-14 13-15 14-17 15-16 16-17
exact/norm bonds :
1-2 1-6 2-3 2-19 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-14 9-10 11-12
12-13 13-14 13-15 14-17 15-16 16-17 19-24 21-23 24-26
exact bonds :
5-18 13-20 15-21 16-27 21-22 24-25 27-28

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS

Stereo Bonds:

18-5 (Single Wedge).
19-2 (Single Wedge).
20-13 (Single Wedge).
21-15 (Single Wedge).
27-16 (Single Hash).

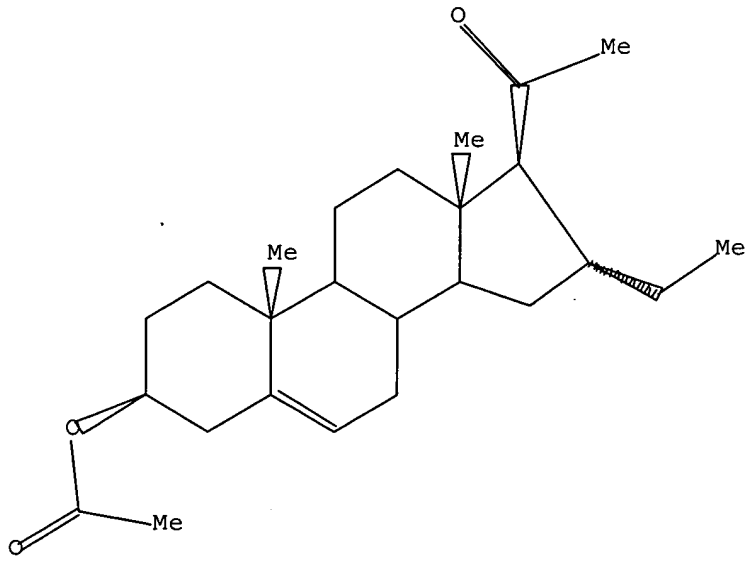
Stereo Chiral Centers:

2 (Parity=Odd)
5 (Parity=Even)
13 (Parity=Even)
15 (Parity=Odd)
16 (Parity=Even)

Stereo RSS Sets:

Type=Relative (Default). 5 Nodes= 2 5 13 15 16
L5 STRUCTURE UPLOADED

=> **d 15**
L5 HAS NO ANSWERS
L5 STR




Structure attributes must be viewed using STN Express query preparation.

=> **s 15**
SAMPLE SEARCH INITIATED 10:20:55 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 8 TO ITERATE

100.0% PROCESSED 8 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 8 TO 329
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> **s l5 exa full**
FULL SEARCH INITIATED 10:21:07 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 27 TO ITERATE

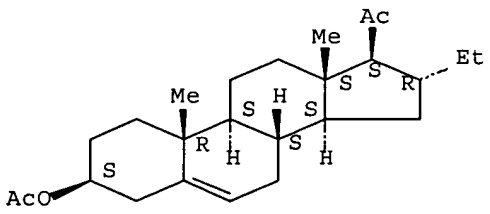
100.0% PROCESSED 27 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

L7 1 SEA EXA FUL L5

=> **d l7**

L7 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN
RN 5297-33-6 REGISTRY
ED Entered STN: 16 Nov 1984
CN Pregn-5-en-20-one, 3-(acetyloxy)-16-ethyl-, (3β,16α)- (9CI)
(CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Pregn-5-en-20-one, 16α-ethyl-3β-hydroxy-, acetate (6CI, 7CI,
8CI)
FS STEREOSEARCH
MF C25 H38 O3
LC STN Files: BEILSTEIN*, CA, CAOLD, CAPLUS, CHEMCATS, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry.




**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

6 REFERENCES IN FILE CA (1907 TO DATE)
6 REFERENCES IN FILE CAPLUS (1907 TO DATE)
2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

=> **file medline, caplus, wpids, uspatfull**

=> **s 17**
SAMPLE SEARCH INITIATED 10:21:26 FILE 'WPIDS'
SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2 TO 62
PROJECTED ANSWERS: 0 TO 0

L8 8 L7

=> **d 18 1-8 ibib, abs, hitstr**

L8 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:221159 CAPLUS Full-text (APPLICANT)
DOCUMENT NUMBER: 136:257280
TITLE: Methods and compositions that affect melanogenesis
INVENTOR(S): Orlow, Seth J.; Hall, Andrea; Manga, Prashiela
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 63 pp., Cont.-in-part of U. S. Ser. No. 599,487.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| US 2002034772 | A1 | 20020321 | US 2001-827428 | | 20010406 |
| WO 2002098347 | A2 | 20021212 | WO 2002-US11067 | | 20020408 |
| WO 2002098347 | A3 | 20030501 | | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | | |
| EP 1383474 | A2 | 20040128 | EP 2002-776548 | | 20020408 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | | |
| JP 2004529975 | T | 20040930 | JP 2003-501389 | | 20020408 |
| TW 235659 | B | 20050711 | TW 2002-91107018 | | 20020408 |
| US 2004175767 | A1 | 20040909 | US 2004-758335 | | 20040115 |
| US 2006188953 | A1 | 20060824 | US 2006-408108 | | 20060420 |
| PRIORITY APPLN. INFO.: | | | US 1999-141563P | P | 19990629 |
| | | | US 2000-599487 | A2 | 20000623 |
| | | | US 2001-827428 | A | 20010406 |
| | | | WO 2002-US11067 | W | 20020408 |
| | | | US 2004-758335 | A3 | 20040115 |

AB The invention provides methods of screening for compds. that affect melanogenesis and the function of P protein in organisms, cells, or cell-free systems. The invention further relates to pharmacol. and cosmetic uses of methods of inhibiting melanogenesis, methods of activating melanogenesis, and compds. and pharmacol. compns. useful for the inhibition or activation of

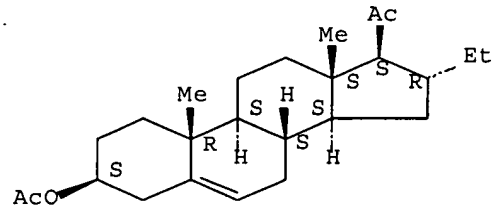
melanogenesis and, therefore, for lightening or darkening the pigmentation of cells and tissue, i.e., skin.

IT 5297-33-6
RL: COS (Cosmetic use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods and compns. that affect melanogenesis)

RN 5297-33-6 CAPLUS

CN Pregn-5-en-20-one, 3-(acetyloxy)-16-ethyl-, (3β,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L8 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1993:517611 CAPLUS Full-text

DOCUMENT NUMBER: 119:117611

TITLE: Methylation or ethylation agents comprising trimethylaluminum, tiethylaluminum, or diethyl zinc and catalytic copper compounds for conjugate addition reactions

INVENTOR(S): Westermann, Juergen; Nickisch, Klaus

PATENT ASSIGNEE(S): Schering A.-G., Germany

SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| EP 534582 | A1 | 19930331 | EP 1992-250276 | | 19920928 |
| EP 534582 | B1 | 19970108 | | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | | |
| DE 4132755 | A1 | 19930401 | DE 1991-4132755 | | 19910927 |
| CA 2120004 | A1 | 19930401 | CA 1992-2120004 | | 19920928 |
| CA 2120004 | C | 20060110 | | | |
| WO 9306066 | A1 | 19930401 | WO 1992-EP2227 | | 19920928 |
| W: CA, JP, US | | | | | |
| JP 06511485 | T | 19941222 | JP 1992-505811 | | 19920928 |
| AT 147401 | T | 19970115 | AT 1992-250276 | | 19920928 |
| ES 2098442 | T3 | 19970501 | ES 1992-250276 | | 19920928 |
| JP 3279564 | B2 | 20020430 | JP 1993-505811 | | 19920928 |
| US 5908944 | A | 19990601 | US 1994-211230 | | 19940930 |
| PRIORITY APPLN. INFO.: | | | DE 1991-4132755 | A | 19910927 |
| | | | WO 1992-EP2227 | W | 19920928 |

OTHER SOURCE(S): CASREACT 119:117611; MARPAT 119:117611

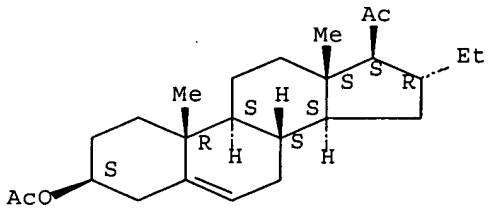
AB Ethylating or methylating agents consist of Me3Al, Me2Zn or Et3Al combined with catalytic quantities of ≥1 Cu(I) and/or Cu(II) compound Thus, a mixture of androsta-1,4-dien-3,17-dione and CuBr in dioxane was treated with Me3Al in PhMe at ≤ 35° to give 77% 1α-methylandrost-4- en-3,17-dione.

IT 5297-33-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, via conjugate addition reaction using triethylaluminum and cuprous bromide)

RN 5297-33-6 CAPLUS

CN Pregn-5-en-20-one, 3-(acetyloxy)-16-ethyl-, (3β,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L8 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1986:225091 CAPLUS Full-text

DOCUMENT NUMBER: 104:225091

TITLE: Synthesis of 16α-ethyl-21-hydroxy-19-norpregn-4-ene-3,20-dione

AUTHOR(S): Zeelen, F. J.; Van den Broek, A. J.

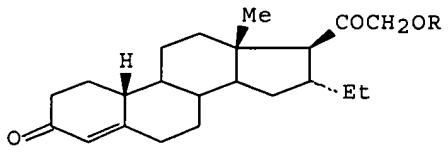
CORPORATE SOURCE: Organon Sci. Dev. Group, Oss, 5340 BH, Neth.

SOURCE: Recueil des Travaux Chimiques des Pays-Bas (1985), 104(9), 239-42
CODEN: RTCPA3; ISSN: 0034-186X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



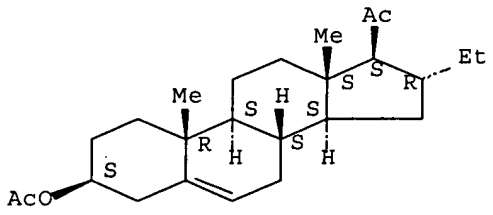

I

AB The title compound I (R = H) was prepared from 3β-acetoxypregna-5,16-dien- 20-one in 11 steps and esterified by acyl chlorides to give I (R = hexanoyl, heptanoyl, decanoyl, dodecanoyl, hexadecanoyl, PhCH2CH2CO). I (R = H) possessed progestational activity 36 times that of progesterone, and I (R = dodecanoyl) was active for months after a single injection in mammals.

IT 5297-33-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

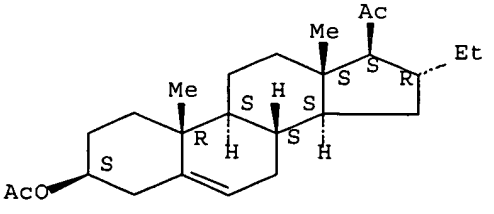
(Reactant or reagent)
(preparation and hydroxybromination of)
RN 5297-33-6 CAPLUS
CN Pregn-5-en-20-one, 3-(acetyloxy)-16-ethyl-, (3β,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L8 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1974:425847 CAPLUS Full-text
DOCUMENT NUMBER: 81:25847
TITLE: Transformed steroids. LV. Reaction of trialkylboranes with Δ16-2σ-keto steroids
AUTHOR(S): Akhrem, A. A.; Levina, I. S.; Titov, Yu. A.; Khripach, V. A.; Bubnov, Yu. N.; Mikhailov, B. M.
CORPORATE SOURCE: USSR
SOURCE: Zhurnal Obshchei Khimii (1973), 43(11), 2565-71
CODEN: ZOKHA4; ISSN: 0044-460X
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB 3β-Acetoxypregna-5,16-dien-20-one (I) reacted with R3B (R = Et, Bu, Me2CHCH2) in refluxing THF containing H2O and then with alkaline H2O2 to give 10.2-32% yields of the corresponding pregnenones II and 32-4% yields of (tetrahydrofuranyl)pregnenone III. Similar reaction of I with Bu3B in Me2CHOH gave II (R = Bu) and (hydroxyisopropyl)pregnenone IV. The configuration at C-16 was determined by CD spectroscopy. II (R = Bu, Me2CHCH2) were also prepared by reaction of I with RMgBr in the presence of CuBr.
IT 5297-33-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 5297-33-6 CAPLUS
CN Pregn-5-en-20-one, 3-(acetyloxy)-16-ethyl-, (3β,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

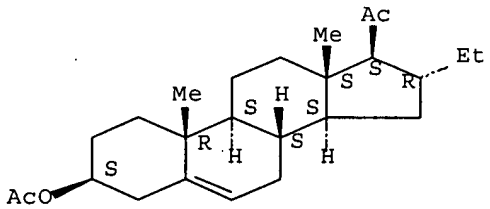


L8 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1966:36099 CAPLUS Full-text
DOCUMENT NUMBER: 64:36099
ORIGINAL REFERENCE NO.: 64:6715d-f
TITLE: The Beckmann rearrangement of pregna-5,16-dien-3β-ol-20-one acetate with boron trifluoride
AUTHOR(S): Romo, J.; de Vivar, A. Romo
CORPORATE SOURCE: Univ. Anatomia, Mexico, D.F.
SOURCE: Revista de la Sociedad Quimica de Mexico (1962), 6(3), 77-86
CODEN: RSQMAN; ISSN: 0583-7693
DOCUMENT TYPE: Journal
LANGUAGE: Spanish

AB The Beckmann rearrangement of 20-acetoximinopregna-5,16-dien-3β-ol acetate catalyzed with BF3 in benzene as solvent gave dehydroepiandrosterone as final product. However, when the reaction was performed using as solvent Ac2O, 17β-methyl-18-norisopregna-5,13- diene-3β,16α-diol-20-one diacetate (I) and 16-acetyl-17- acetamidoandrosta-5,16-dien-3β-ol (II) were obtained. I had λ 5.80 μ with inflection in 5.88 μ. I formed an oxime and gave a diepoxide when treated with perbenzoic acid. II was shown to contain N. For further identification several derivs. of both I and II were prepared and identified. The reaction is useful to prepare androstane derivs. starting from Δ16-20-ketones.

IT 5297-33-6P, Pregn-5-en-20-one, 16α-ethyl-3β-hydroxy-, acetate
RL: PREP (Preparation)
(preparation of)
RN 5297-33-6 CAPLUS
CN Pregn-5-en-20-one, 3-(acetyloxy)-16-ethyl-, (3β,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L8 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1961:8299 CAPLUS Full-text
DOCUMENT NUMBER: 55:8299
ORIGINAL REFERENCE NO.: 55:1697a-c
TITLE: Beckmann rearrangement of the acetoxime of 5,16-pregnadien-3β-ol-20-one acetate with boron trifluoride
AUTHOR(S): Romo, J.; de Vivar, A. Romo
CORPORATE SOURCE: Univ. Mexico, Mexico City
SOURCE: Journal of the American Chemical Society (1959), 81,

3446-52
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 55:8299

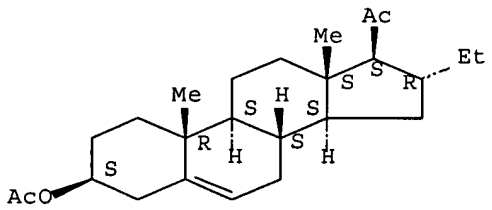
AB Beckmann rearrangement of 3β-acetoxy-5,16-pregnadien-20-one acetoxime with BF3-Et2O in benzene yielded dehydroepiandrosterone acetate, whereas in Ac2O the rearrangement followed a different course and two products were isolated: 17β-methyl-18-nor-5,13(14)-isopregnadiene-3β, 16α-diol-20-one diacetate (I) and 16-acetyl-17-acetamido-5,16- androstadien-3β-ol acetate (II). Several derivs. of these compds. were prepared in the process of establishing their structure. LiAlH4 reduction of I afforded the 3,16,20-triol, m. 202-204°, [α] -207°. The oxo group in I was eliminated by hydrogenolysis of the cycloethylene mercaptol, m. 137-40°, [α]20D -108°, whereupon the diacetate, m. 123-4°, [α]20D -176°, was obtained. KHCO3 saponification of the diacetate gave the monoacetate which, on Oppenauer oxidation, afforded the Δ4-3-ketone. II was hydrolyzed with KOH to remove the acetate groups and the latter benzoylated with BzCl in pyridine to give the dibenzoate, m. 279-81°, [α]20D -44°. Benzoylation by the Schotten-Baumann method gave the monobenzoate (III), m. 218-20°, [α]20D -51°. Oppenauer oxidation of III yielded 16-acetyl-17-benzamido-4,16-androstadien-3- one, m. 221-2°, [α]20D 94°.

IT 5297-33-6P, Pregn-5-en-20-one, 16α-ethyl-3β-hydroxy-, acetate
RL: PREP (Preparation)
(preparation of)

RN 5297-33-6 CAPLUS

CN Pregn-5-en-20-one, 3-(acetyloxy)-16-ethyl-, (3β,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L8 ANSWER 7 OF 8 USPATFULL on STN

APPLICANT

ACCESSION NUMBER: 2002:60938 USPATFULL Full-text
TITLE: Methods and compositions that affect melanogenesis
INVENTOR(S): Orlow, Seth J., New York, NY, UNITED STATES
Hall, Andrea, New York, NY, UNITED STATES
Manga, Prashiela, New York, NY, UNITED STATES

| | NUMBER | KIND | DATE |
|---|---|---|---|
| PATENT INFORMATION: | US 2002034772 | A1 | 20020321 |
| APPLICATION INFO.: | US 2001-827428 | A1 | 20010406 (9) |

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2000-599487, filed on 23 Jun 2000, PENDING

NUMBER DATE

| | |
|---|---|
| PRIORITY INFORMATION: | US 1999-141563P 19990629 (60) |
| DOCUMENT TYPE: | Utility |
| FILE SEGMENT: | APPLICATION |
| LEGAL REPRESENTATIVE: | ANN-LOUISE KERNER, PH.D., HALE AND DORR LLP, 60 STATE STREET, BOSTON, MA, 02109 |
| NUMBER OF CLAIMS: | 92 |
| EXEMPLARY CLAIM: | 1 |
| NUMBER OF DRAWINGS: | 19 Drawing Page(s) |
| LINE COUNT: | 4216 |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides methods of screening for compounds that affect melanogenesis and the function of P protein in organisms, cells, or cell-free systems. The invention further relates to pharmacologic and cosmetic uses of methods of inhibiting melanogenesis, methods of activating melanogenesis, and compounds and pharmacologic compositions useful for the inhibition or activation of melanogenesis and, therefore, for lightening or darkening the pigmentation of cells and tissue, i.e., skin.

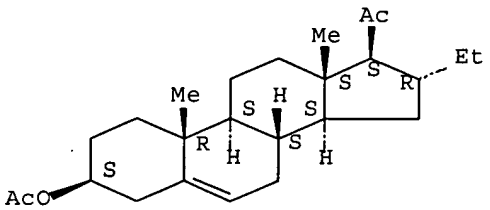
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 5297-33-6
(methods and compns. that affect melanogenesis)

RN 5297-33-6 USPATFULL

CN Pregn-5-en-20-one, 3-(acetyloxy)-16-ethyl-, (3β,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L8 ANSWER 8 OF 8 USPATFULL on STN

| | |
|---|---|
| ACCESSION NUMBER: | 1999:63417 USPATFULL Full-text |
| TITLE: | Methylation or ethylation agent and process for 1,4-addition of a methyl or ethyl group to an α, β-unsaturated keto compound |
| INVENTOR(S): | Westermann, Jurgen, Berlin, Germany, Federal Republic of<br>Nickisch, Klaus, Berlin, Germany, Federal Republic of |
| PATENT ASSIGNEE(S): | Schering Aktiengesellschaft, Germany, Federal Republic of (non-U.S. corporation) |

| | NUMBER | KIND | DATE | |
|---|---|---|---|---|
| PATENT INFORMATION: | US 5908944 | | 19990601 | |
| | WO 9306066 | | 19930401 | |
| APPLICATION INFO.: | US 1994-211230 | | 19940930 | (8) |
| | WO 1992-EP2227 | | 19920928 | |
| | | | 19940930 | PCT 371 date |

19940930 PCT 102(e) date

| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | DE 1991-4132755 | 19910927 |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | Granted | |
| PRIMARY EXAMINER: | Dees, Jose G. | |
| ASSISTANT EXAMINER: | Pryor, Alton | |
| LEGAL REPRESENTATIVE: | Millen, White, Zelano, & Branigan, P.C. | |
| NUMBER OF CLAIMS: | 21 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 980 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB This invention describes a new methylation or ethylation agent containing trimethyl aluminum or dimethyl zinc or triethyl aluminum as methyl or ethyl source, which additionally contains catalytic amounts of one or more copper(I) and/or copper(II) compounds as well as a process for the 1,4-addition of a methyl or ethyl group to an α,β-unsaturated or an α,β-double unsaturated ketone or an α,β-unsaturated aldehyde using the agent according to the invention.

By using only catalytic amounts of copper and a CKW (chlorinatedhydrocarbon)-free reaction medium, the new methylation/ethylation agent/process is distinguished by its environmental compatibility and it is, for example, suitable for the production of initial products for the synthesis of biologically effective compounds.

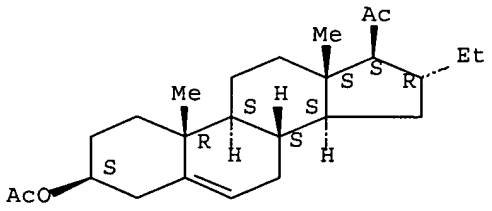
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 5297-33-6P
(preparation of, via conjugate addition reaction using triethylaluminum and cuprous bromide)

RN 5297-33-6 USPATFULL

CN Pregn-5-en-20-one, 3-(acetyloxy)-16-ethyl-, (3β,16α)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

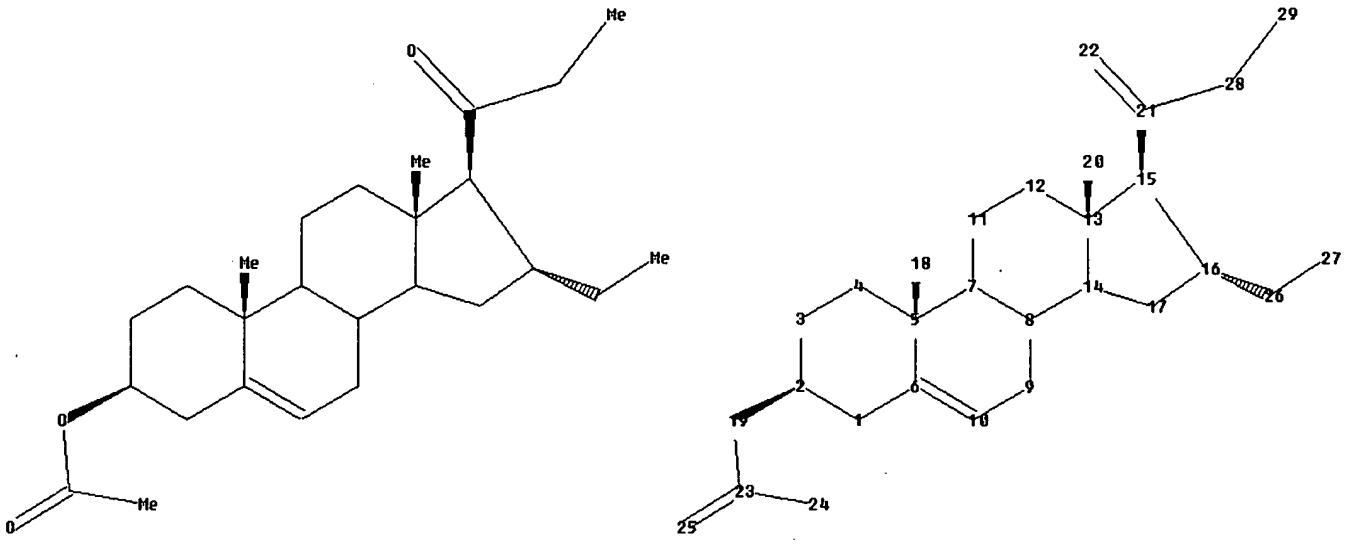



=> **file registry**

Compound VII

=>

Uploading C:\Program Files\Stnexp\Queries\10758335_VII.str




chain nodes :
18 19 20 21 22 23 24 25 26 27 28 29
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
2-19 5-18 13-20 15-21 16-26 19-23 21-22 21-28 23-24 23-25 26-27 28-29

ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-14 9-10 11-12 12-13
13-14 13-15 14-17 15-16 16-17
exact/norm bonds :
1-2 1-6 2-3 2-19 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-14 9-10 11-12
12-13 13-14 13-15 14-17 15-16 16-17 19-23 21-22 23-25
exact bonds :
5-18 13-20 15-21 16-26 21-28 23-24 26-27 28-29

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS

Stereo Bonds:

18-5 (Single Wedge).
19-2 (Single Wedge).
20-13 (Single Wedge).
21-15 (Single Wedge).
26-16 (Single Hash).

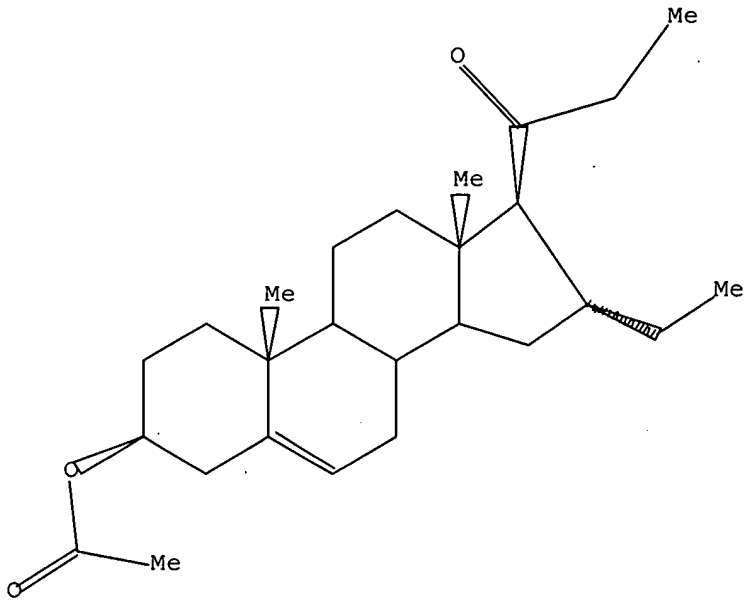
Stereo Chiral Centers:

2 (Parity=Odd)
5 (Parity=Even)
13 (Parity=Even)
15 (Parity=Odd)
16 (Parity=Even)

Stereo RSS Sets:

Type=Relative (Default). 5 Nodes= 2 5 13 15 16
L9 STRUCTURE UPLOADED

=> **d l9**
L9 HAS NO ANSWERS
L9 STR




Structure attributes must be viewed using STN Express query preparation.

=> **s l9 exa full**
FULL SEARCH INITIATED 10:23:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 27 TO ITERATE

100.0% PROCESSED 27 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

L10 1 SEA EXA FUL L9

=> **file medline, caplus, wpids, uspatfull**

=> **s l10**
SAMPLE SEARCH INITIATED 10:23:20 FILE 'WPIDS'
SAMPLE SCREEN SEARCH COMPLETED - 1 TO ITERATE

100.0% PROCESSED 1 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1 TO 40
PROJECTED ANSWERS: 0 TO 0

L11 3 L10

=> **d l11 1-3 ibib, abs, hitstr**

L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN APPLICANT
ACCESSION NUMBER: 2002:221159 CAPLUS Full-text
DOCUMENT NUMBER: 136:257280
TITLE: Methods and compositions that affect melanogenesis
INVENTOR(S): Orlow, Seth J.; Hall, Andrea; Manga, Prashiela
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 63 pp., Cont.-in-part of U. S. Ser. No. 599,487.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2002034772 | A1 | 20020321 | US 2001-827428 | 20010406 |
| WO 2002098347 | A2 | 20021212 | WO 2002-US11067 | 20020408 |
| WO 2002098347 | A3 | 20030501 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | |
| EP 1383474 | A2 | 20040128 | EP 2002-776548 | 20020408 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004529975 | T | 20040930 | JP 2003-501389 | 20020408 |
| TW 235659 | B | 20050711 | TW 2002-91107018 | 20020408 |
| US 2004175767 | A1 | 20040909 | US 2004-758335 | 20040115 |
| US 2006188953 | A1 | 20060824 | US 2006-408108 | 20060420 |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US 1999-141563P | P | 19990629 |
| US 2000-599487 | A2 | 20000623 |
| US 2001-827428 | A | 20010406 |
| WO 2002-US11067 | W | 20020408 |
| US 2004-758335 | A3 | 20040115 |

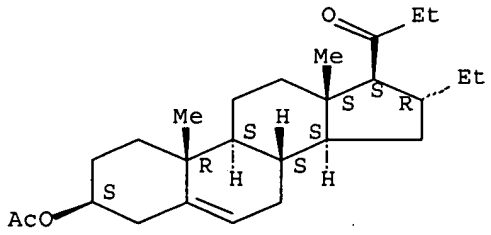
AB The invention provides methods of screening for compds. that affect melanogenesis and the function of P protein in organisms, cells, or cell-free systems. The invention further relates to pharmacol. and cosmetic uses of methods of inhibiting melanogenesis, methods of activating melanogenesis, and compds. and pharmacol. compns. useful for the inhibition or activation of melanogenesis and, therefore, for lightening or darkening the pigmentation of cells and tissue, i.e., skin.

IT 16321-62-3
RL: COS (Cosmetic use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods and compns. that affect melanogenesis)

RN 16321-62-3 CAPLUS

CN 1-Propanone, 1-[(3β,16α,17β)-16-ethyl-3-(acetyloxy)androst-5-en-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

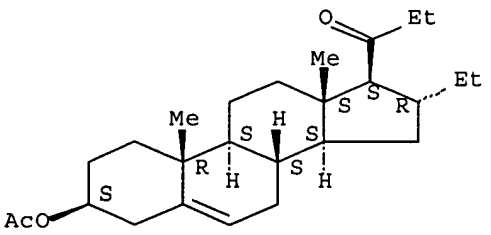


L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1967:517077 CAPLUS Full-text
DOCUMENT NUMBER: 67:117077
TITLE: 16α-Alkyl-or 16α-aryl-17β-acyl derivatives of androstene
INVENTOR(S): Maksimov, V. I.; Lur'i, F. A.; Morozova, L. S.
PATENT ASSIGNEE(S): Ordzhonikidze, S., All-Union Scientific-Research Chemical-Pharmaceutical Institute
SOURCE: U.S.S.R. From: Izobret., Prom. Obraztsy, Tovarnye Znaki 1966, 43(22), 37.
CODEN: URXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| SU 188492 | | 19661101 | SU | 19650701 |

GI For diagram(s), see printed CA Issue.
AB The title compds. of the general formula I where the double bond is located between C-4 and C-5 or C-5 and C-6, R is O or H, β-OH, R1 is an alkyl or an aryl, are prepared by treating 17-cyanoandrosta-5,16-dien-3- ol with excess alkylmagnesium halide in an organic solvent medium, e.g. anisole, at 60-85°, in a current of N. The resulting product is subjected to saponification and oxidation
IT 16321-62-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 16321-62-3 CAPLUS
CN 1-Propanone, 1-[(3β,16α,17β)-16-ethyl-3-(acetyloxy)androst-5-en-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



APPLICANT

L11 ANSWER 3 OF 3 USPATFULL on STN
ACCESSION NUMBER: 2002:60938 USPATFULL Full-text
TITLE: Methods and compositions that affect melanogenesis
INVENTOR(S): Orlow, Seth J., New York, NY, UNITED STATES
Hall, Andrea, New York, NY, UNITED STATES
Manga, Prashiela, New York, NY, UNITED STATES

| | NUMBER | KIND | DATE |
|---|---|---|---|
| PATENT INFORMATION: | US 2002034772 | A1 | 20020321 |
| APPLICATION INFO.: | US 2001-827428 | A1 | 20010406 (9) |

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2000-599487, filed on 23 Jun 2000, PENDING

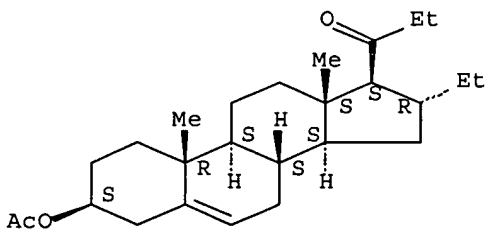
| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | US 1999-141563P | 19990629 (60) |

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: ANN-LOUISE KERNER, PH.D., HALE AND DORR LLP, 60 STATE STREET, BOSTON, MA, 02109
NUMBER OF CLAIMS: 92
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 19 Drawing Page(s)
LINE COUNT: 4216
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides methods of screening for compounds that affect melanogenesis and the function of P protein in organisms, cells, or cell-free systems. The invention further relates to pharmacologic and cosmetic uses of methods of inhibiting melanogenesis, methods of activating melanogenesis, and compounds and pharmacologic compositions useful for the inhibition or activation of melanogenesis and, therefore, for lightening or darkening the pigmentation of cells and tissue, i.e., skin.

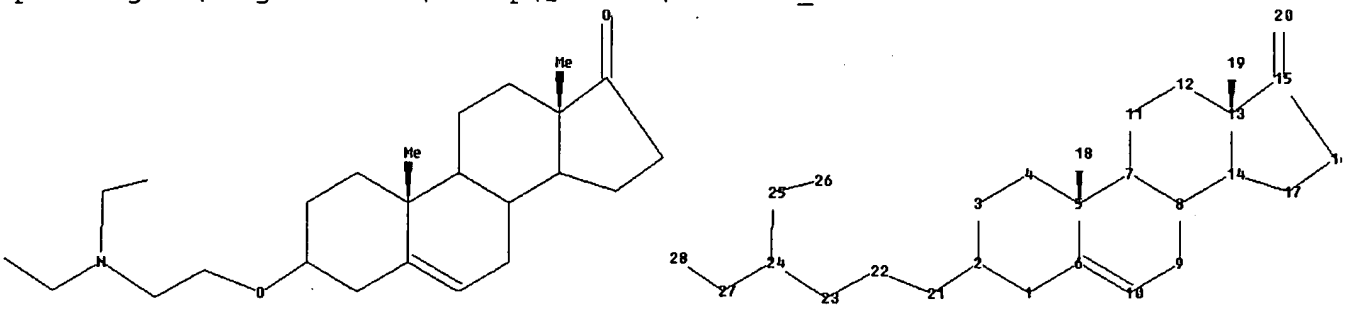
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 16321-62-3
(methods and compns. that affect melanogenesis)
RN 16321-62-3 USPATFULL
CN 1-Propanone, 1-[(3β,16α,17β)-16-ethyl-3-(acetyloxy)androst-5-en-17-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



COMPOUND VIII

=> **file registry**
=>
Uploading C:\Program Files\Stnexp\Queries\10758335_VIII.str




chain nodes :
18 19 20 21 22 23 24 25 26 27 28
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
2-21 5-18 13-19 15-20 21-22 22-23 23-24 24-25 24-27 25-26 27-28
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-14 9-10 11-12 12-13
13-14 13-15 14-17 15-16 16-17
exact/norm bonds :
1-2 1-6 2-3 2-21 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-14 9-10 11-12
12-13 13-14 13-15 14-17 15-16 15-20 16-17 21-22 23-24 24-25 24-27
exact bonds :
5-18 13-19 22-23 25-26 27-28

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS

Stereo Bonds:

18-5 (Single Wedge).
19-13 (Single Wedge).

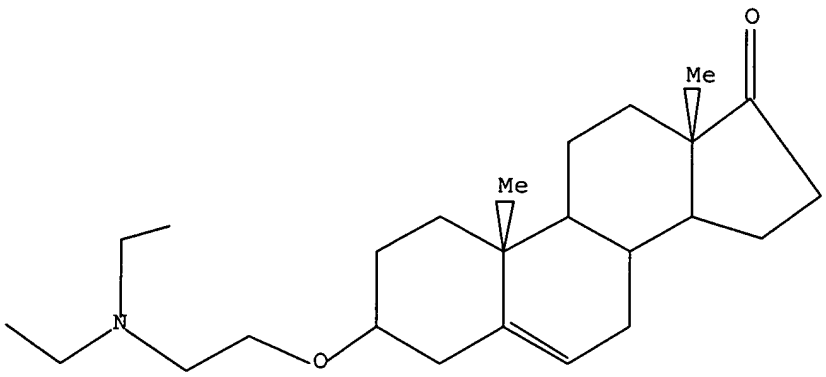
Stereo Chiral Centers:

5 (Parity=Even)
13 (Parity=Even)

Stereo RSS Sets:

Type=Relative (Default). 2 Nodes= 5 13
L12 STRUCTURE UPLOADED

=> **d l12**
L12 HAS NO ANSWERS
L12 STR




Structure attributes must be viewed using STN Express query preparation.

=> **s l12 exa full**
FULL SEARCH INITIATED 10:26:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 170 TO ITERATE

100.0% PROCESSED 170 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

L13 2 SEA EXA FUL L12

=> **file medline, caplus, wpids, uspatfull**

=> **s l13**
SAMPLE SEARCH INITIATED 10:26:51 FILE 'WPIDS'
SAMPLE SCREEN SEARCH COMPLETED - 1 TO ITERATE

100.0% PROCESSED 1 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1 TO 40
PROJECTED ANSWERS: 0 TO 0

L14 10 L13

=> **d l14 1-10 ibib, abs, hitstr**

L14 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:221159 CAPLUS Full-text
DOCUMENT NUMBER: 136:257280
TITLE: Methods and compositions that affect melanogenesis
INVENTOR(S): Orlow, Seth J.; Hall, Andrea; Manga, Prashiela
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 63 pp., Cont.-in-part of U. S. Ser. No. 599,487.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

APPLICANT

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2002034772 | A1 | 20020321 | US 2001-827428 | 20010406 |
| WO 2002098347 | A2 | 20021212 | WO 2002-US11067 | 20020408 |
| WO 2002098347 | A3 | 20030501 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

| | | | | |
|---|---|---|---|---|
| EP 1383474 | A2 | 20040128 | EP 2002-776548 | 20020408 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004529975 | T | 20040930 | JP 2003-501389 | 20020408 |
| TW 235659 | B | 20050711 | TW 2002-91107018 | 20020408 |
| US 2004175767 | A1 | 20040909 | US 2004-758335 | 20040115 |
| US 2006188953 | A1 | 20060824 | US 2006-408108 | 20060420 |

| | | |
|---|---|---|
| PRIORITY APPLN. INFO.: | US 1999-141563P | P 19990629 |
| | US 2000-599487 | A2 20000623 |
| | US 2001-827428 | A 20010406 |
| | WO 2002-US11067 | W 20020408 |
| | US 2004-758335 | A3 20040115 |

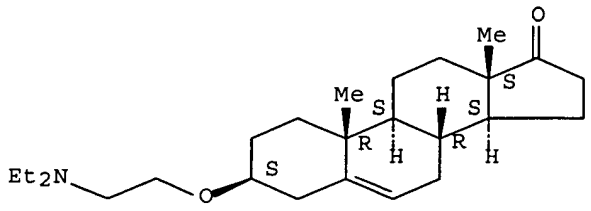
AB The invention provides methods of screening for compds. that affect melanogenesis and the function of P protein in organisms, cells, or cell-free systems. The invention further relates to pharmacol. and cosmetic uses of methods of inhibiting melanogenesis, methods of activating melanogenesis, and compds. and pharmacol. compns. useful for the inhibition or activation of melanogenesis and, therefore, for lightening or darkening the pigmentation of cells and tissue, i.e., skin.

IT 2855-62-1
RL: COS (Cosmetic use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods and compns. that affect melanogenesis)

RN 2855-62-1 CAPLUS

CN Androst-5-en-17-one, 3-[2-(diethylamino)ethoxy]-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



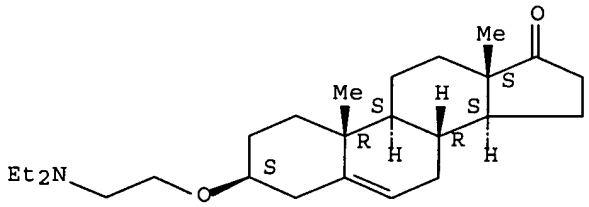

L14 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:125168 CAPLUS Full-text
DOCUMENT NUMBER: 120:125168

TITLE: Species specificity of triphenylethylene derivatives and of compounds with a steroidal backbone for human and rat liver antiestrogen binding site (AEBS)
AUTHOR(S): van den Koedijk, C. D. M. A.; Govers, R. M. T.; Thijssen, J. H. H.; Blankenstein, M. A.
CORPORATE SOURCE: Fac. Pharm., Utrecht Univ., Utrecht, Neth.
SOURCE: Biochemical Pharmacology (1993), 46(10), 1870-2
CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The binding affinity of derivs. of the triphenylethylene (TPE) antiestrogen tamoxifen and of steroidal compds. for human liver antiestrogen binding sites (AEBS) was compared with their binding affinity for rat liver AEBS. Despite the observation of some quant. differences overall a highly significant correlation between the relative binding affinity (RBA) for human and rat liver AEBS was found for all compds. tested (r=0.93, N=19). This was more pronounced for TPE derivs. (r=0.83, N=12) than for cholesterol derived compds. (r=0.64, N=7, not significant). The authors conclude that AEBS from rat liver can be used instead of human livers as a model to study the interactions of antiestrogens with AEBS.

IT 2855-62-1, LS3360
RL: BIOL (Biological study)
(antiestrogen-binding sites of liver of human and laboratory animal affinity for)
RN 2855-62-1 CAPLUS
CN Androst-5-en-17-one, 3-[2-(diethylamino)ethoxy]-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L14 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:504432 CAPLUS Full-text
DOCUMENT NUMBER: 117:104432
TITLE: Comparative affinity of steroidal and nonsteroidal antiestrogens, cholesterol derivatives and compounds with a dialkylamino side chain for the rat liver antiestrogen binding site
AUTHOR(S): Van den Koedijk, C. D. M. A.; Vis Van Heemst, C.; Elsendoorn, G. M.; Thijssen, J. H. H.; Blankenstein, M. A.
CORPORATE SOURCE: Dep. Pharm., Utrecht Univ., Utrecht, Neth.
SOURCE: Biochemical Pharmacology (1992), 43(12), 2511-18
CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE: Journal
LANGUAGE: English

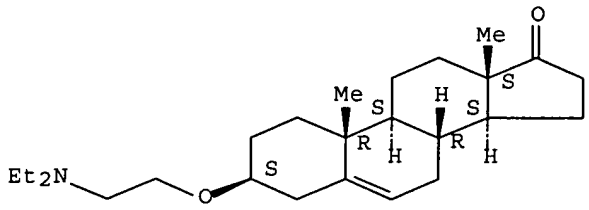
AB Steroidal and non-steroidal antiestrogens, steroidal compds. with (disubstituted) dialkyl amino side chain, cholesterol derivs., and histaminic and (anti)-progestational compds. were tested for their ability to compete with [3H]tamoxifen for the specific antiestrogen binding site (AEBS) in the post-mitochondrial fraction of rat liver homogenates. Relative binding affinity was highest for compds. with diethylamino or pyrrolidino ethoxy side chains. Affinity decreased with shortening of this side chain. No connection could be established between the carbon backbone of the compound and affinity, except for the presence of (sometimes aromatic) ring structures. Steroidal ring structures do not seem to be necessary for binding. The cholesterol derivs. showed very little affinity for the rat liver AEBS. Histamine, melatonin, and the (anti)-progestational compds. showed no affinity for the AEBS; evidently, the AEBS is not identical to receptors for these compds.

IT 2855-62-1, LS 3360
RL: PRP (Properties)
(antiestrogen binding site affinity of, mol. structure in relation to)

RN 2855-62-1 CAPLUS

CN Androst-5-en-17-one, 3-[2-(diethylamino)ethoxy]-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L14 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1986:179605 CAPLUS Full-text

DOCUMENT NUMBER: 104:179605

TITLE: The squalene-2,3-epoxide cyclase as a model for the development of new drugs

AUTHOR(S): Cattel, L.; Ceruti, M.; Viola, F.; Delprino, L.; Balliano, G.; Duriatti, A.; Bouvier-Nave, P.

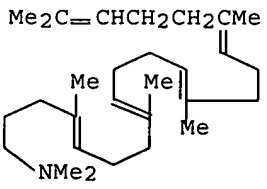
CORPORATE SOURCE: Ist. Chim. Farm. Appl., Univ. Torino, Turin, 10125, Italy

SOURCE: Lipids (1986), 21(1), 31-8
CODEN: LPDSAP; ISSN: 0024-4201

DOCUMENT TYPE: Journal

LANGUAGE: English

GI




I

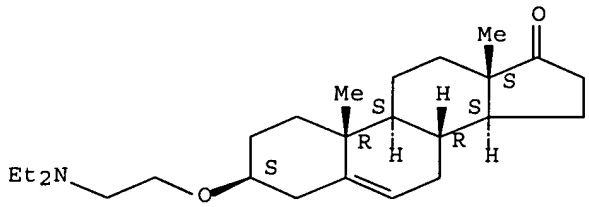
AB 2-Aza-2,3-dihydrosqualene (I) [86699-73-2] and its derivs. were tested as inhibitors of 2,3-oxidosqualene cyclase (EC 5.4.99.7) [9032-71-7]. Microsomes from different sources (germinated pea cotyledons, maize seedlings, rat liver, and yeasts) were used. The results indicate that I and its derivs. strongly inhibit the enzyme, the site of the enzyme responsible for binding to the inhibitor is quite sensitive to the steric hinderance, and the degree of the inhibitory activity is greater in higher plants than in rat liver or fungi.

IT 2855-62-1
RL: BIOL (Biological study)
(oxidosqualene cyclase inhibition by)

RN 2855-62-1 CAPLUS

CN Androst-5-en-17-one, 3-[2-(diethylamino)ethoxy]-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L14 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1965:91232 CAPLUS Full-text

DOCUMENT NUMBER: 62:91232

ORIGINAL REFERENCE NO.: 62:16336c-f

TITLE: Pharmacological 3β-(aminomethoxy)-5-androsten-17-ones

PATENT ASSIGNEE(S): Upjohn Co.

SOURCE: 8 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| NL 6404875 | | 19641102 | NL 1964-4875 | 19640501 |
| PRIORITY APPLN. INFO.: | | | US | 19630501 |

GI For diagram(s), see printed CA Issue.

AB I, in which R is NH2, NEt2, or NMeCH2CH2OH, are prepared by halogenating 3β-(carboxymethoxy)-5-androsten-17-one (II), and then treating the formed 3β-(haloformylmethoxy)-5-androsten-17-one with NH3, NHEt2, or methylaminoethanol. Thus, 2 g. II is dissolved in 25 ml. tetrahydrofuran (THF) containing 3 drops pyridine and 5 ml. oxalyl chloride. The mixture is stirred 30 min. at 0°, then 30 min. at room temperature, the solution concentrated in vacuo <25°, and 25 ml. anhydrous C6H6 added. The solution is evaporated to dryness in vacuo, the obtained chloroformylmethoxy compound dissolved in 50 ml. THF, and 5 ml. NHEt2 added at 0°. The mixture is stirred 2 hrs. at room temperature, evaporated in vacuo, the residue dissolved in AcOEt, the solution washed successively with H2O, dilute acid, dilute base and H2O, and dried over

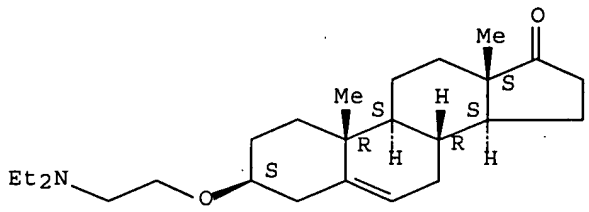
anhydrous sulfate. The organic solution is evaporated and recrystd. from a mixture of Skellysolve B hexanes and ether (3:2), and then recrystd. (ether) to yield 1.45 g. 3β-(diethylcarbamoylmethoxy)-5-androstan-17-one (I, R = NEt2) (Ia), m. 110-10.5°, [α]D 5° (CHCl3). Ia is useful as sedative and diuretic.

IT 2855-62-1P, Androst-5-en-17-one, 3β-[2-(diethylamino)ethoxy]-
RL: PREP (Preparation)
(preparation of)

RN 2855-62-1 CAPLUS

CN Androst-5-en-17-one, 3-[2-(diethylamino)ethoxy]-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L14 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1965:91231 CAPLUS Full-text
DOCUMENT NUMBER: 62:91231
ORIGINAL REFERENCE NO.: 62:16336a-c
TITLE: Steroid[3,2-b]pyridines
INVENTOR(S): Shimizu, Masao; Ota, Motokichi; Ueno, Katsujiro; Takegoshi, Toshio
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd.
SOURCE: 5 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 40003917 | B4 | 19650302 | JP | 19620504 |
| PRIORITY APPLN. INFO.: | | | JP | 19620504 |

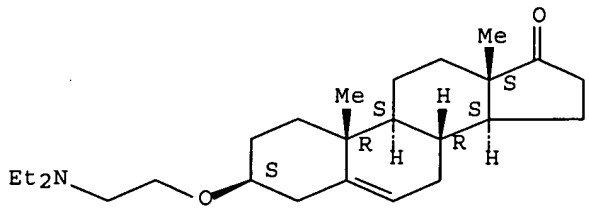
GI For diagram(s), see printed CA Issue.

AB A mixture of 4 g. 2-hydroxymethylene-17β-hydroxyandrostan-3-one, 2 g. cyanoacetamide, 400 ml. EtOH, and 9.0 ml. NEt3 is refluxed for 10 hrs., concentrated in vacuo, to the residue is added H2O, and precipitated mass is recrystd. from MeOH (or AcOEt) to give I (R = CN, R' = O, R'' = H), m. >300°. Similarly are prepared the following I (R, R', R'', and m.p. given): CN, O, Me, >300°; CN, S, H, >300°; CN, S, Me, >300°. Also are prepared the following II (R, R', R'', and m.p. given): CONH2, NH2, Me, 250-2.5° (AcOEt); CONH2, NH2, H (having a double bond between 4-5), 274-6.5° (decomposition)(MeOH); CONH2, NH2, Me (having a double bond between 4-5), 196-7°/276-80° (double m.p.) (AcOEt); CO2Et, NH2, H, 140° (decomposition)(MeOH); CO2Et, NH2, Me (having a double bond between 4-5), 183-7° (MeOH).

IT 2855-62-1P, Androst-5-en-17-one, 3β-[2-(diethylamino)ethoxy]-
RL: PREP (Preparation)
(preparation of)

RN 2855-62-1 CAPLUS
CN Androst-5-en-17-one, 3-[2-(diethylamino)ethoxy]-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1963:461307 CAPLUS Full-text
DOCUMENT NUMBER: 59:61307
ORIGINAL REFERENCE NO.: 59:11197c
TITLE: 3β-(Dialkylaminoalkoxy)-5-androstan-17-ones in hypocholesterolemics
INVENTOR(S): Kagan, Fred
PATENT ASSIGNEE(S): Upjohn Co.
SOURCE: 9 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

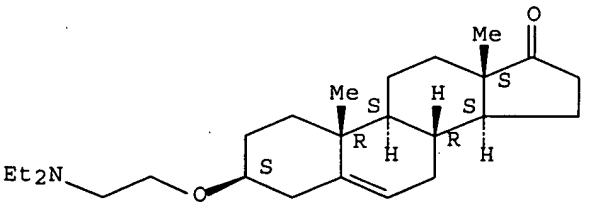
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| FR M1721 | | 19630408 | FR | |
| PRIORITY APPLN. INFO.: | | | US | 19610109 |
| OTHER SOURCE(S): | MARPAT 59:61307 | | | |

AB 3β-(Dialkylaminoalkoxy)-5-androsten-17-ones, 3β- (dialkylaminoalkoxy)-5α-androstan-17-ones, and their N-oxides are used in hypocholesterolemic compns. The dosage of the active ingredient is approx. 5-150 mg. 1-4 times a day.

IT 2855-62-1, Androst-5-en-17-one, 3β-[2-(diethylamino)ethoxy]- (in hypercholesterolemia treatment)

RN 2855-62-1 CAPLUS
CN Androst-5-en-17-one, 3-[2-(diethylamino)ethoxy]-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

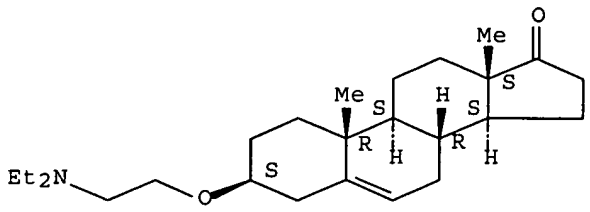


L14 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1963:68617 CAPLUS Full-text
DOCUMENT NUMBER: 58:68617
ORIGINAL REFERENCE NO.: 58:11781f-h,11782a-b
TITLE: Cholesterol biosynthesis. V. The time course and pathway of the later stages of cholesterol biosynthesis in the livers of intact rats
AUTHOR(S): Goodman, DeWitt S.; Avigan, Joel; Steinberg, Daniel
CORPORATE SOURCE: U.S. Public Health Serv., Bethesda, MD
SOURCE: Journal of Biological Chemistry (1963), 238, 1287-93
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Studies have been conducted of the time course of the distribution of radioactivity in rat liver nonsaponifiables at several short intervals after the intravenous injection of 2-C14-DL-mevalonic acid. Recently developed thin-layer chromatographic techniques were employed that permit separation of many of the sterol intermediates in cholesterol biosynthesis. Both normal and triparanol-fed rats were studied, and biochem. techniques were used to aid in the identification of some of the intermediate compds. The appearance of radio-activity in liver sterol was extremely rapid. After 2 min. 7% of the injected radioactivity was present in liver nonsaponifiables, and 43% of this was contained in sterols; 57% of the nonsaponifiable radioactivity was present as squalene. After 30 min., 11% of the injected radioactivity was present in the nonsaponifiables, and 89% of this was contained in sterols. Within the sterol fraction, radioactivity was found primarily in lanosterol, an intermediate zone, Δ7 (+Δ8)-cholestenol, and cholesterol. The relative amount of radioactivity in the first three of these decreased progressively from the maximum found at 2 min., which is consistent with the conclusion that these components lie on the major biosynthetic pathway to cholesterol. After 2 min., 53% of the sterol radioactivity was in lanosterol and only 19% in cholesterol; by 30 min., 76% of the sterol radioactivity was in cholesterol. The evidence presented suggests that the radioactivity in the intermediate zone from normal rats was contained in a C28 sterol mixture containing compds. with both saturated and unsatd. side chains. The results also indicate that in normal rats no significant radioactivity was contained in Δ7,24-cholestadienol or in zymosterol, whereas major amts. of radioactivity were present in one or both of these compds. in triparanol-treated rats. Only traces of radioactivity were found in 24,25-dihydrolanosterol and in desmosterol throughout the time period studied. It is probable that neither of these compds. lies on the major normal pathway of cholesterol biosynthesis. Reduction of the side chain probably occurs mainly at some intermediate stage in the sequence of reactions that modify the configuration of the sterol nucleus. Side chain reduction does not occur exclusively at any one point, however, but does occur to different degrees at several or perhaps at all points in the normal pathway from lanosterol to cholesterol.

IT 2855-62-1, Androst-5-en-17-one, 3β-[2-(diethylamino)ethoxy]- (in reduction of desmosterol and lanosterol)
RN 2855-62-1 CAPLUS
CN Androst-5-en-17-one, 3-[2-(diethylamino)ethoxy]-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

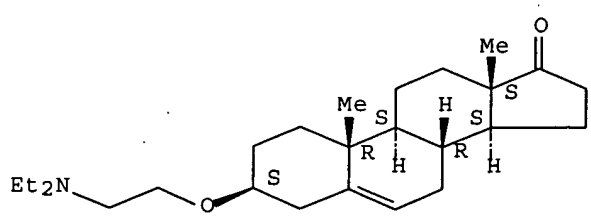


L14 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1963:68616 CAPLUS Full-text
DOCUMENT NUMBER: 58:68616
ORIGINAL REFERENCE NO.: 58:11781e-f
TITLE: Cholesterol biosynthesis. IV. Reduction of lanosterol to 24,25-dihydrolanosterol by rat liver homogenates
AUTHOR(S): Avigan, Joel; Goodman, DeWitt S.; Steinberg, Daniel
CORPORATE SOURCE: U.S. Public Health Serv., Bethesda, MD
SOURCE: Journal of Biological Chemistry (1963), 238, 1283-6
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. CA 56, 10753i. The anaerobic reduction of labeled lanosterol, biosynthetically prepared from 2-C14-mevalonic acid, to 24,25-dihydrolanosterol has been demonstrated with rat liver homogenates. Enzymic activity was associated with cell particles, mostly with microsomes, and required reduced triphosphopyridine nucleotide. The enzyme was completely inhibited on addition of N-ethylmaleimide or p- chloromercuribenzoate, and did not require a bivalent cation for activity. Attempts to demonstrate the reversibility of side chain reduction of lanosterol during both anaerobic and aerobic incubations were not successful. Triparanol and two other inhibitors of cholesterol biosynthesis blocked the reduction of both lanosterol and desmosterol in vitro. Unlabeled lanosterol or desmosterol added to the incubation medium caused a comparable inhibition of reduction of C14-lanosterol. It is possible that a single enzyme is responsible for the reduction of both sterol substrates.

IT 2855-62-1, Androst-5-en-17-one, 3β-[2-(diethylamino)ethoxy]-
(in reduction of desmosterol and lanosterol)
RN 2855-62-1 CAPLUS
CN Androst-5-en-17-one, 3-[2-(diethylamino)ethoxy]-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L14 ANSWER 10 OF 10 USPATFULL on STN

Applicant

ACCESSION NUMBER: 2002:60938 USPATFULL Full-text
TITLE: Methods and compositions that affect melanogenesis
INVENTOR(S): Orlow, Seth J., New York, NY, UNITED STATES
Hall, Andrea, New York, NY, UNITED STATES
Manga, Prashiela, New York, NY, UNITED STATES

| | NUMBER | KIND | DATE |
|---|---|---|---|
| PATENT INFORMATION: | US 2002034772 | A1 | 20020321 |
| APPLICATION INFO.: | US 2001-827428 | A1 | 20010406 (9) |

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2000-599487, filed on 23 Jun 2000, PENDING

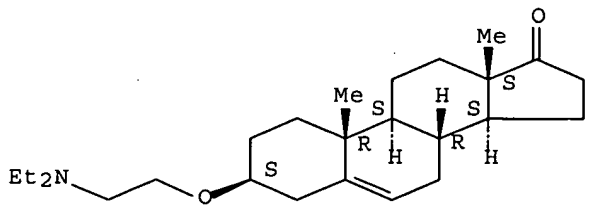
| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | US 1999-141563P | 19990629 (60) |

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: ANN-LOUISE KERNER, PH.D., HALE AND DORR LLP, 60 STATE STREET, BOSTON, MA, 02109
NUMBER OF CLAIMS: 92
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 19 Drawing Page(s)
LINE COUNT: 4216
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides methods of screening for compounds that affect melanogenesis and the function of P protein in organisms, cells, or cell-free systems. The invention further relates to pharmacologic and cosmetic uses of methods of inhibiting melanogenesis, methods of activating melanogenesis, and compounds and pharmacologic compositions useful for the inhibition or activation of melanogenesis and, therefore, for lightening or darkening the pigmentation of cells and tissue, i.e., skin.

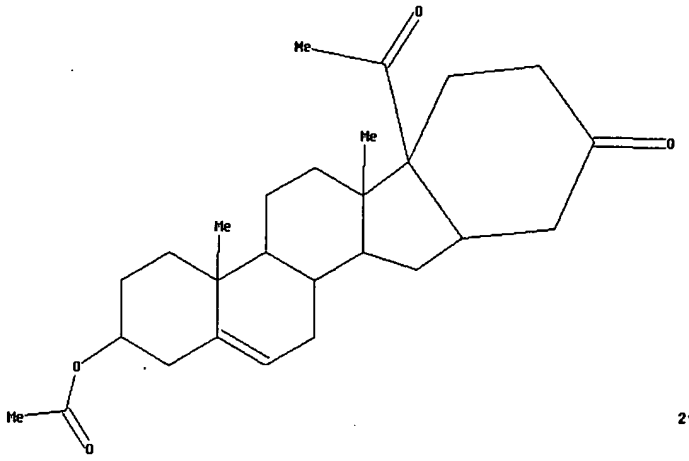
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 2855-62-1
(methods and compns. that affect melanogenesis)
RN 2855-62-1 USPATFULL
CN Androst-5-en-17-one, 3-[2-(diethylamino)ethoxy]-, (3β)- (9CI) (CA INDEX NAME)

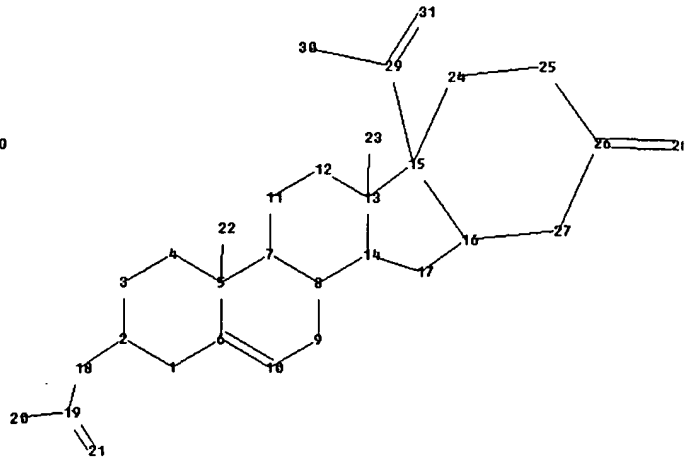
Absolute stereochemistry.




=> **file registry**
=>
Uploading C:\Program Files\Stnexp\Queries\10758335_II.str

Compound II



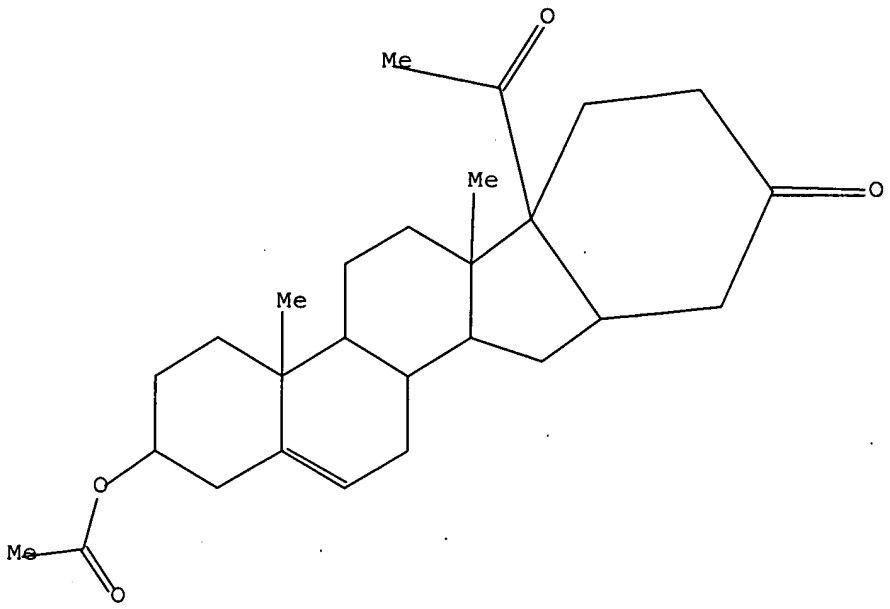




chain nodes :
18 19 20 21 22 23 28 29 30 31
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 24 25 26 27
chain bonds :
2-18 5-22 13-23 15-29 18-19 19-20 19-21 26-28 29-30 29-31
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-14 9-10 11-12 12-13
13-14 13-15 14-17 15-16 15-24 16-17 16-27 24-25 25-26 26-27
exact/norm bonds :
1-2 1-6 2-3 2-18 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-14 9-10 11-12
12-13 13-14 13-15 14-17 15-16 15-24 16-17 16-27 18-19 19-21 24-25 25-26
26-27 26-28
29-31
exact bonds :
5-22 13-23 15-29 19-20 29-30

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:Atom 25:Atom 26:Atom 27:Atom 28:CLASS 29:CLASS
30:CLASS 31:CLASS

L15 STRUCTURE UPLOADED

=> **d 115**
L15 HAS NO ANSWERS
L15 STR




Structure attributes must be viewed using STN Express query preparation.

=> **s l15 exa full**
FULL SEARCH INITIATED 10:30:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 81 TO ITERATE

100.0% PROCESSED 81 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

L16 1 SEA EXA FUL L15

=> **file medline, caplus, wpids, uspatfull**

=> **s l16**
SAMPLE SEARCH INITIATED 10:30:27 FILE 'WPIDS'
SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2 TO 62
PROJECTED ANSWERS: 0 TO 0

L17 5 L16

=> **d l17 1-5 ibib, abs, hitstr**

L17 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:221159 CAPLUS Full-text
DOCUMENT NUMBER: 136:257280
TITLE: Methods and compositions that affect melanogenesis
INVENTOR(S): Orlow, Seth J.; Hall, Andrea; Manga, Prashiela

APPLICANT

PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 63 pp., Cont.-in-part of U. S. Ser. No. 599,487.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2002034772 | A1 | 20020321 | US 2001-827428 | 20010406 |
| WO 2002098347 | A2 | 20021212 | WO 2002-US11067 | 20020408 |
| WO 2002098347 | A3 | 20030501 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | |
| EP 1383474 | A2 | 20040128 | EP 2002-776548 | 20020408 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004529975 | T | 20040930 | JP 2003-501389 | 20020408 |
| TW 235659 | B | 20050711 | TW 2002-91107018 | 20020408 |
| US 2004175767 | A1 | 20040909 | US 2004-758335 | 20040115 |
| US 2006188953 | A1 | 20060824 | US 2006-408108 | 20060420 |

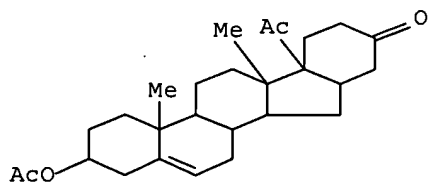
PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US 1999-141563P | P | 19990629 |
| US 2000-599487 | A2 | 20000623 |
| US 2001-827428 | A | 20010406 |
| WO 2002-US11067 | W | 20020408 |
| US 2004-758335 | A3 | 20040115 |

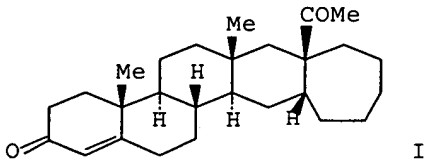
AB The invention provides methods of screening for compds. that affect melanogenesis and the function of P protein in organisms, cells, or cell-free systems. The invention further relates to pharmacol. and cosmetic uses of methods of inhibiting melanogenesis, methods of activating melanogenesis, and compds. and pharmacol. compns. useful for the inhibition or activation of melanogenesis and, therefore, for lightening or darkening the pigmentation of cells and tissue, i.e., skin.

IT 83117-73-1
RL: COS (Cosmetic use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods and compns. that affect melanogenesis)

RN 83117-73-1 CAPLUS
CN 16,24-Cyclo-21-norchol-5-en-23-one, 17-acetyl-3-(acetyloxy)-, (3β,16β,17α)- (9CI) (CA INDEX NAME)



L17 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:162095 CAPLUS Full-text
DOCUMENT NUMBER: 104:162095
TITLE: Biological activity of transformed steroids. XXI. Synthesis, conformational analysis and biological activity of the D'7-pentarane, 16α,17α-cycloheptanoprogesterone
AUTHOR(S): Kamernitskii, A. V.; Levina, I. S.; Kulikova, L. E.; Shamovskii, I. L.; Korkhov, V. V.; Nikitina, G. V.
CORPORATE SOURCE: Inst. Org. Khim., Moscow, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1986), 20(1), 56-9
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



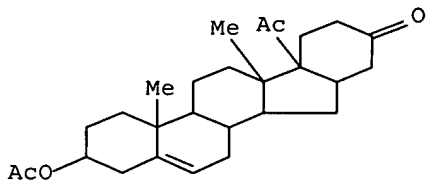
I

AB 16α,17α-Cycloheptanoprogesterone (I) [101346-79-6] was synthesized; its conformation was described; and it was tested for progestogenic activity. I did not affect endometrium proliferation. This contrasted with the D'3-D'6-pentarane which did posses hormonal activity.

IT 83117-73-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyanation of)

RN 83117-73-1 CAPLUS

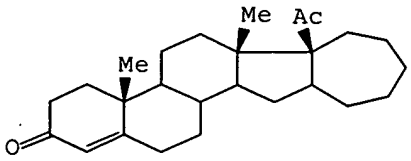
CN 16,24-Cyclo-21-norchol-5-en-23-one, 17-acetyl-3-(acetyloxy)-, (3β,16β,17α)- (9CI) (CA INDEX NAME)



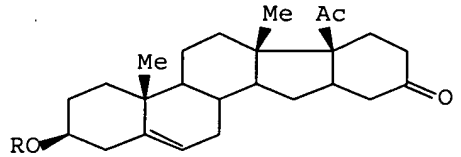

L17 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1983:179735 CAPLUS Full-text
DOCUMENT NUMBER: 98:179735
TITLE: Transformed steroids. 131. Ring D' homologation in 16α,17α-cyclohexanopregnanes

(D'6-pentaranes)

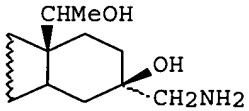
AUTHOR(S): Kamernitskii, A. V.; Kulikova, L. E.; Levina, I. S.
CORPORATE SOURCE: Inst. Org. Khim. im. Zelinskogo, Moscow, USSR
SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1982), (11), 2552-7
CODEN: IASKA6; ISSN: 0002-3353
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



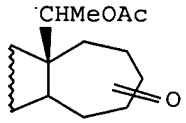

I




II




III

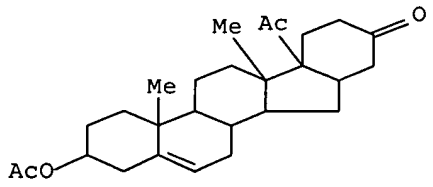



IV

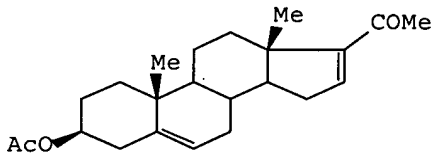
AB The cycloheptanopregnane I was prepared from the cyclohexanopregnene II (R = Ac) in 9 steps. Thus, II underwent successive cyanation, acetylation, and LiAlH4 reduction to give the aminomethyl derivative III (R = H), which underwent Tiffeneau-Demjanov ring expansion and acetylation to give the cycloheptanopregnene IV. LiAlH4 reduction of the tosylhydrazone of IV and subsequent Jones oxidation gave I. Ring expansion of II via addition reactions of CH2N2 or CH2Br2 were not successful.

IT 83117-73-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactions of)

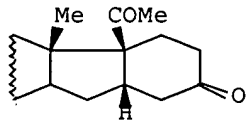
RN 83117-73-1 CAPLUS
CN 16,24-Cyclo-21-norchol-5-en-23-one, 17-acetyl-3-(acetyloxy)-, (3β,16β,17α)- (9CI) (CA INDEX NAME)



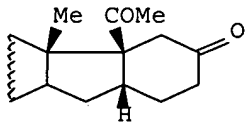
ACCESSION NUMBER: 1982:563316 CAPLUS Full-text
DOCUMENT NUMBER: 97:163316
TITLE: Transformed steroids. 125. Single-stage synthesis of oxo 16α,17α-cyclohexanopregnanes under atmospheric and high pressure
AUTHOR(S): Levina, I. S.; Kulikova, L. E.; El'yanov, B. S.
CORPORATE SOURCE: Inst. Org. Khim., Moscow, USSR
SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1982), (6), 1399-401
CODEN: IASKA6; ISSN: 0002-3353
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI




I




II



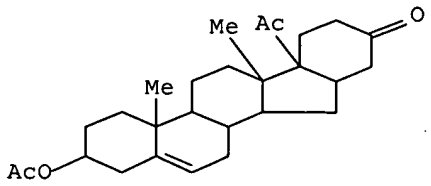

III

AB Cycloaddn. of the dehydropregnenolone acetate I with H2C:C(OSiMe3)CH:CH2 in CH2Cl2 at 80° and 14 kbar gave a mixture of regioisomeric ketones II and III. Similar cyclization of I in the presence of AlCl3 gave only II.

IT 83117-73-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis-oxidation of)

RN 83117-73-1 CAPLUS

CN 16,24-Cyclo-21-norchol-5-en-23-one, 17-acetyl-3-(acetyloxy)-, (3β,16β,17α)- (9CI) (CA INDEX NAME)




L17 ANSWER 5 OF 5 USPATFULL on STN
ACCESSION NUMBER: 2002:60938 USPATFULL Full-text
TITLE: Methods and compositions that affect melanogenesis
INVENTOR(S): Orlow, Seth J., New York, NY, UNITED STATES
Hall, Andrea, New York, NY, UNITED STATES
Manga, Prashiela, New York, NY, UNITED STATES

APPLICANT

| | NUMBER | KIND | DATE |
|---|---|---|---|
| PATENT INFORMATION: | US 2002034772 | A1 | 20020321 |
| APPLICATION INFO.: | US 2001-827428 | A1 | 20010406 (9) |

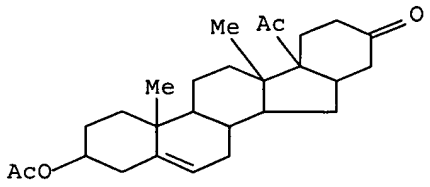
RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2000-599487, filed on 23 Jun 2000, PENDING

| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | US 1999-141563P | 19990629 (60) |

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: ANN-LOUISE KERNER, PH.D., HALE AND DORR LLP, 60 STATE STREET, BOSTON, MA, 02109
NUMBER OF CLAIMS: 92
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 19 Drawing Page(s)
LINE COUNT: 4216
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides methods of screening for compounds that affect melanogenesis and the function of P protein in organisms, cells, or cell-free systems. The invention further relates to pharmacologic and cosmetic uses of methods of inhibiting melanogenesis, methods of activating melanogenesis, and compounds and pharmacologic compositions useful for the inhibition or activation of melanogenesis and, therefore, for lightening or darkening the pigmentation of cells and tissue, i.e., skin.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 83117-73-1
(methods and compns. that affect melanogenesis)
RN 83117-73-1 USPATFULL
CN 16,24-Cyclo-21-norchol-5-en-23-one, 17-acetyl-3-(acetyloxy)-, (3β,16β,17α)- (9CI) (CA INDEX NAME)

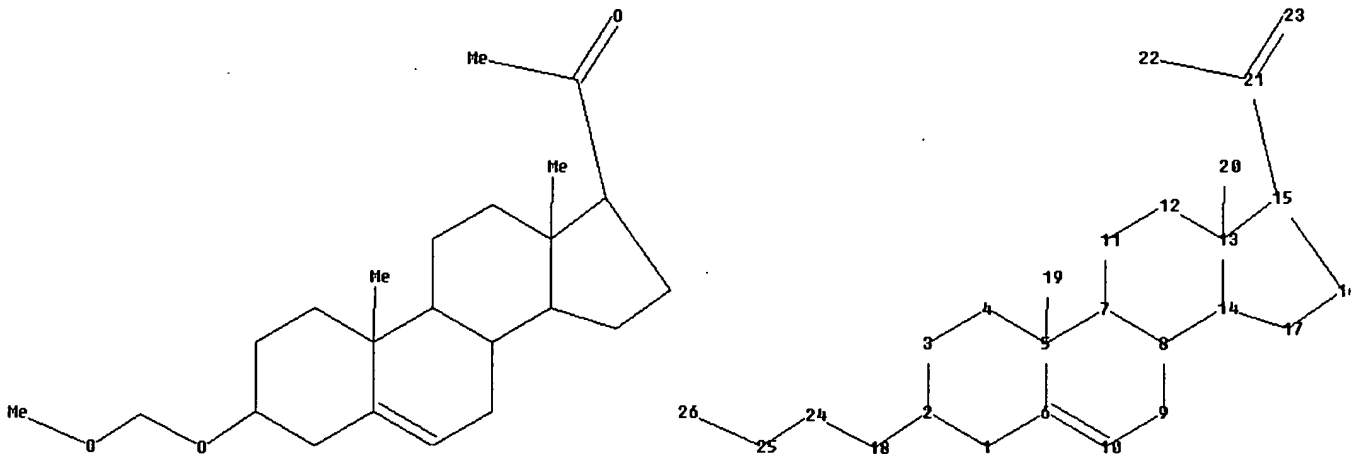



=> **file registry**

=>

Compound IV

Uploading C:\Program Files\Stnexp\Queries\10758335_IV.str

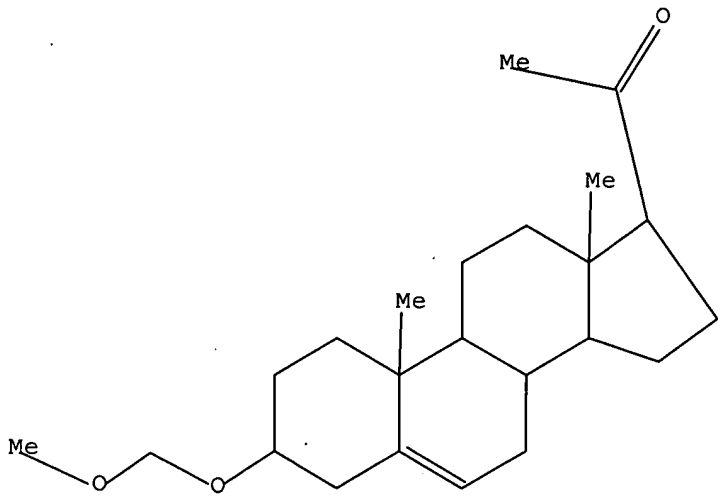



chain nodes :
18 19 20 21 22 23 24 25 26
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
2-18 5-19 13-20 15-21 18-24 21-22 21-23 24-25 25-26
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-14 9-10 11-12 12-13
13-14 13-15 14-17 15-16 16-17
exact/norm bonds :
1-2 1-6 2-3 2-18 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-14 9-10 11-12
12-13 13-14 13-15 14-17 15-16 16-17 18-24 21-23 24-25
exact bonds :
5-19 13-20 15-21 21-22 25-26

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS

L18 STRUCTURE UPLOADED

=> **d 118**
L18 HAS NO ANSWERS
L18 STR




Structure attributes must be viewed using STN Express query preparation.

=> **s l18 exa full**
FULL SEARCH INITIATED 10:32:13 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 19 TO ITERATE

100.0% PROCESSED 19 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

L19 1 SEA EXA FUL L18

=> **file medline, caplus, wpids, uspatfull**

=> **s l19**
SAMPLE SEARCH INITIATED 10:32:28 FILE 'WPIDS'
SAMPLE SCREEN SEARCH COMPLETED - 1 TO ITERATE

100.0% PROCESSED 1 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1 TO 40
PROJECTED ANSWERS: 0 TO 0

L20 17 L19

=> **s l20 not py>2001**
L21 13 L20 NOT PY>2001

=> **d l21 1-13 ibib, abs, hitstr**

L21 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:743150 CAPLUS Full-text
DOCUMENT NUMBER: 134:29610
TITLE: Highly β-selective epoxidation of
Δ5-unsaturated steroids catalyzed by ketones

AUTHOR(S): Yang, Dan; Jiao, Guan-Sheng
CORPORATE SOURCE: Department of Chemistry, The University of Hong Kong, Hong Kong, Peop. Rep. China
SOURCE: Chemistry--A European Journal (2000), 6(19), 3517-3521
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:29610

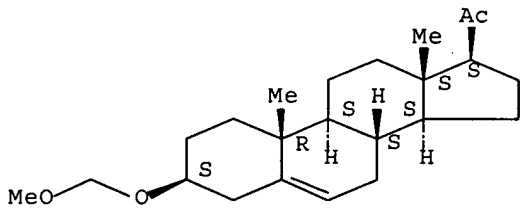
AB A general catalytic and environmentally friendly method for β-epoxidn. of Δ5-unsatd. steroids has been developed, which uses ketones as the catalysts and Oxone as the terminal oxidant. A whole range of Δ5-unsatd. steroids, which bear different functional groups such as hydroxyl, carbonyl, acetyl, or ketal, as well as different side chains, were conveniently converted to the corresponding synthetically and biol. interesting 5β,5β-epoxides with excellent β-selectivities and high yields.

IT 23328-05-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(highly β-selective epoxidn. of Δ5-unsatd. steroids catalyzed by ketones)

RN 23328-05-4 CAPLUS

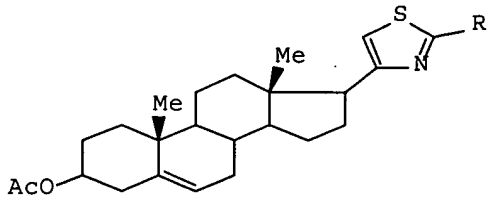
CN Pregn-5-en-20-one, 3-(methoxymethoxy)-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

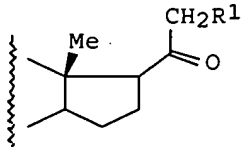



REFERENCE COUNT: 79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

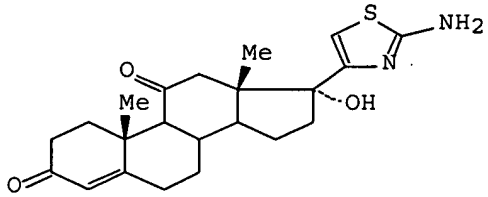
L21 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1990:424324 CAPLUS Full-text
DOCUMENT NUMBER: 113:24324
TITLE: Steroids. Part CCCXLVII. Synthesis and in vitro antimetabolic evaluation of some steroidal thiazoles
AUTHOR(S): Drasar, Pavel; Pouzar, Vladimir; Cerny, Ivan; Pettit, George R.; Havel, Miroslav
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, 166 10, Czech.
SOURCE: Collection of Czechoslovak Chemical Communications (1989), 54(12), 3339-47
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:24324
GI




I




II




III

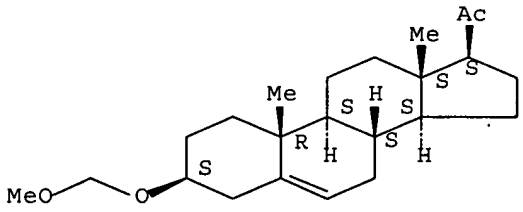
AB Steroidal thiazoles I (R = NH2, NHMe, NHPh, NMe2, Ph, Me, CH2CO2Me) have been synthesized. The starting bromo ketone II (R1 = Br) was prepared by bromination of pregnen-20-ones II (R1 = H) with copper (II) bromide, and was used for synthesis of the thiazole derivs. employing the Hantzsch reaction. Preliminary biol. evaluation of thiazoles I and III against the P388 lymphocytic leukemia cell showed growth inhibition values of ED50 2.9 and 7 μg/mL for thiazoles III and I (R = NH2), resp. Other I were less active.

IT 23328-05-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(bromination of, with cupric bromide)

RN 23328-05-4 CAPLUS

CN Pregn-5-en-20-one, 3-(methoxymethoxy)-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L21 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1989:477151 CAPLUS Full-text

DOCUMENT NUMBER: 111:77151

TITLE: Determination of the absolute configuration of secondary alcohols by modified Horeau's method using HPLC

AUTHOR(S): Svatos, Ales; Valterova, Irena; Fabryova, Anna; Vrkoc, Jan

CORPORATE SOURCE: Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, 166 10, Czech.

SOURCE: Collection of Czechoslovak Chemical Communications (1989), 54(1), 151-9

CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:77151

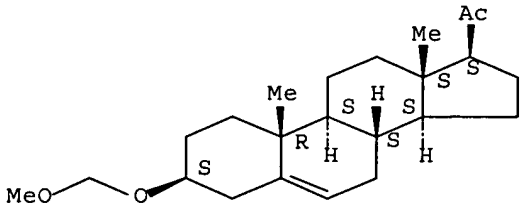
AB A method for determination of absolute configuration of secondary alcs., based on a modified Horeau's method, has been developed. The ratio of (1R,2'S)- and (1R,2'R)-N-[1-(1-naphthyl)ethyl]-2-phenylbutanamides was determined by HPLC on a straight phase. The method was tested on a series of steroid and terpene model compds. and was used in the determination of absolute configuration of 15-ripperten-3α-ol, the defense substance of Nasutitermes nigriceps termites. The sensitivity of the determination is 100 nmol of the alc.

IT 23328-05-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reduction of)

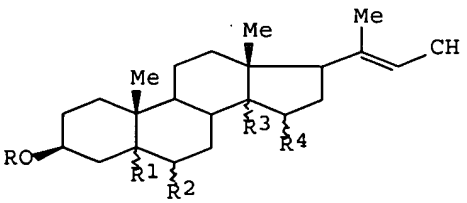
RN 23328-05-4 CAPLUS

CN Pregn-5-en-20-one, 3-(methoxymethoxy)-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L21 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:473791 CAPLUS Full-text
DOCUMENT NUMBER: 109:73791
TITLE: Steroids. CCCXXXIII. β-Glucosides of steroidal unsaturated nitriles
AUTHOR(S): Cerny, Ivan; Pouzar, Vladimir; Drasar, Pavel; Havel, Miroslav
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, 166 10, Czech.
SOURCE: Collection of Czechoslovak Chemical Communications (1987), 52(10), 2521-33
CODEN: CCCCAK; ISSN: 0366-547X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:73791
GI




I

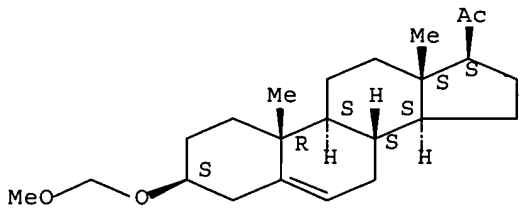
AB Glycosides I (R = β-D-glucopyranosyl; R1 = α-H, β-H, R2-R4 = H; R1R2 = bond, R3R4 = bond, H2) were obtained by treating pregnan-2-ones with NCCH2P(O)(OEt)2 followed by deblocking and glycosidation. I (R = COCH2CH2CO2H) were similarly prepared I (R = β-D-glucopyranosyl, R1R2 = bond, R3 = R4 = H) was also prepared by glycosidation of 3β-hydroxy-5-pregnen-20-one; followed by reaction with NCCH2P(O)(OEt)2.

IT 23328-05-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with cyanomethylphosphonate)

RN 23328-05-4 CAPLUS

CN Pregn-5-en-20-one, 3-(methoxymethoxy)-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L21 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1987:554591 CAPLUS Full-text

DOCUMENT NUMBER: 107:154591

TITLE: Dehydrogenation of cyanamides. An approach to cyanimides and carbonyl compounds

AUTHOR(S): Carrau, Reyes; Freire, Raimundo; Hernandez, Rosendo; Suarez, Ernesto

CORPORATE SOURCE: Inst. Prod. Nat. Org., CSIC, La Laguna, Spain

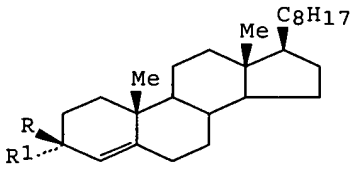
SOURCE: Synthesis (1986), (12), 1055-8
CODEN: SYNTBF; ISSN: 0039-7881

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 107:154591

GI




I

AB Treatment of cyanamides with Pb(OAc)4 afforded the corresponding cyanimides in high yields. Thus, cholestene I (R = NHCN, R1 = H) was treated with Ph (OAc)

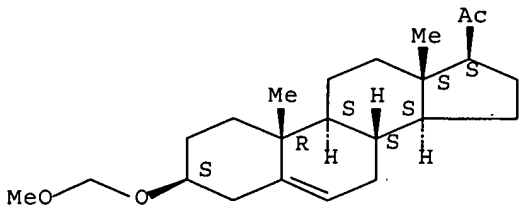
in cyclohexane to give 87%, I (RR1 = NCN). These compds. were hydrolyzed to carbonyl compds. This sequence of reactions allows the synthesis of aldehydes and ketones from primary amines.

IT 23328-05-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 23328-05-4 CAPLUS

CN Pregn-5-en-20-one, 3-(methoxymethoxy)-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L21 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1987:18930 CAPLUS Full-text

DOCUMENT NUMBER: 106:18930

TITLE: Infrared spectra of compounds with a methoxymethyl protecting group

AUTHOR(S): Vasickova, Sona; Pouzar, Vladimir; Cerny, Ivan; Drasar, Pavel; Havel, Miroslav

CORPORATE SOURCE: Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, 166 10/6, Czech.

SOURCE: Collection of Czechoslovak Chemical Communications (1986), 51(1), 90-100
CODEN: CCCCAK; ISSN: 0366-547X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 106:18930

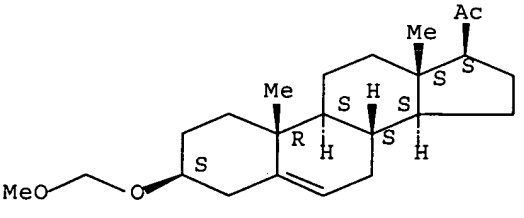
AB IR spectra of a series of methoxymethyl steroidal ethers were studied. All the spectra exhibit three characteristic strong absorption bands due to coupled stretching vibrations of the C-O-C-O-C grouping in the region 1200-1000 cm-1.

IT 23328-05-4
RL: PRP (Properties)
(IR spectrum of)

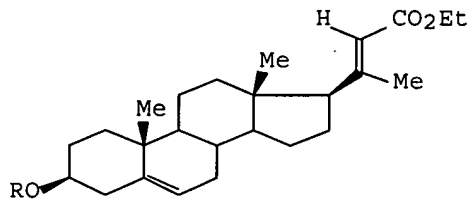
RN 23328-05-4 CAPLUS

CN Pregn-5-en-20-one, 3-(methoxymethoxy)-, (3β)- (9CI) (CA INDEX NAME)

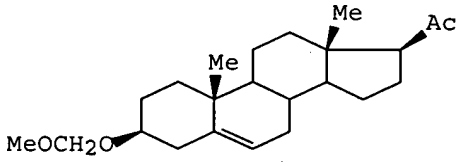
Absolute stereochemistry.



L21 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:18927 CAPLUS Full-text
DOCUMENT NUMBER: 106:18927
TITLE: Steroids. CCCXVIII. Steroids with the β-crotonate (2-butenoate) side chain
AUTHOR(S): Cerny, Ivan; Pouzar, Vladimir; Drasar, Pavel; Turecek, Frantisek; Havel, Miroslav
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, 166 10/6, Czech.
SOURCE: Collection of Czechoslovak Chemical Communications (1986), 51(1), 128-40
CODEN: CCCCAK; ISSN: 0366-547X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:18927
GI




I




II

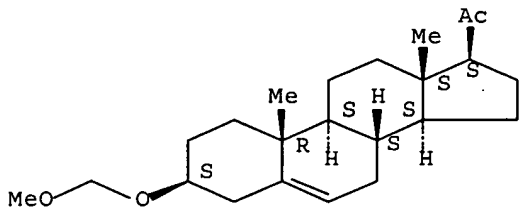
AB 24-Nor-5,20(22)-choladienoate I (R = CH2OMe) was prepared by the Wittig-Horner reaction of ketone II with di-Et ethoxycarbonylmethylphosphonate. The reaction afforded exclusively the E isomer. The structure of I (R = CH2OMe) was confirmed by proton and carbon-13 NMR spectroscopy. I (R = CH2OMe) was further converted into the 3-O-succinyl derivative I (R = COCH2CH2CO2H). The 5α,6- and 5β,6-dihydro and Δ5,14 analogs of I were also prepared and they were converted into their corresponding 3-O-succinyl derivs.

IT 23328-05-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(Peterson olefination and Wittig-Horner reaction of)

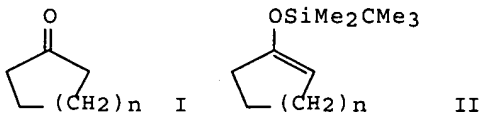
RN 23328-05-4 CAPLUS

CN Pregn-5-en-20-one, 3-(methoxymethoxy)-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

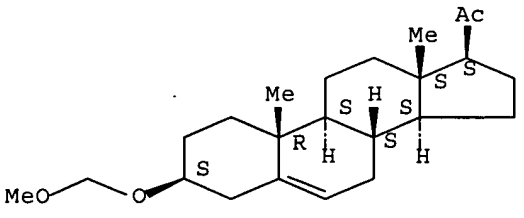


L21 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:204013 CAPLUS Full-text
DOCUMENT NUMBER: 102:204013
TITLE: Synthesis of tert-butyldimethylsilyl enol ethers from sterically hindered ketones
AUTHOR(S): Mander, Lewis N.; Sethi, S. Paul
CORPORATE SOURCE: Res. Sch. Chem., Aust. Natl. Univ., Canberra, 2601, Australia
SOURCE: Tetrahedron Letters (1984), 25(51), 5953-6
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

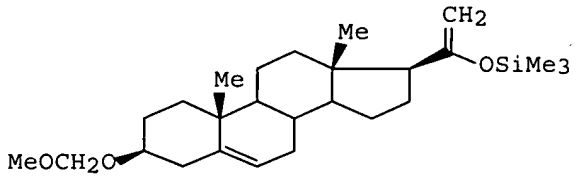


AB Ketones, e.g., (I), react rapidly with t-butyldimethylsilyl triflate and amine bases to form t-butyldimethylsilyl enol ethers, e.g., II, in 90-100% yields.
IT 23328-05-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(silylation of, with tert-butyldimethylsilyl triflate)
RN 23328-05-4 CAPLUS
CN Pregn-5-en-20-one, 3-(methoxymethoxy)-, (3β)- (9CI) (CA INDEX NAME)

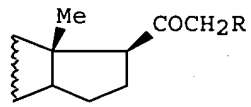
Absolute stereochemistry.



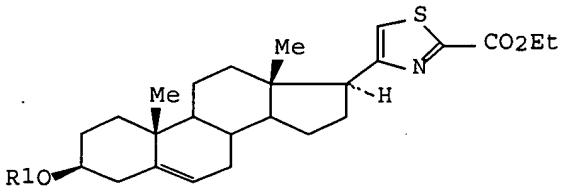
L21 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1984:571591 CAPLUS Full-text
DOCUMENT NUMBER: 101:171591
TITLE: Steroids. Part CCCVII. Synthesis of 17β-[4-(1,3-thiazoyl)]androstane 3β-hemisuccinate and glycoside
AUTHOR(S): Drasar, Pavel; Pouzar, Vladimir; Cerny, Ivan; Smolikova, Jorga; Havel, Miroslav
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, 166 10/6, Czech.
SOURCE: Collection of Czechoslovak Chemical Communications (1984), 49(4), 1039-50
CODEN: CCCCAK; ISSN: 0366-547X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI




I




II




III

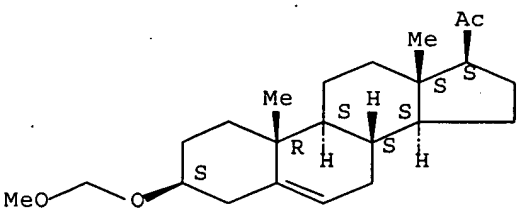
AB The pregnenone enol silyl ether I was oxidized by N-methylmorpholine oxide/OsO4 to give the hydroxy ketone II (R = OH), which underwent mesylation and bromination to give II (R = Br). Hantzsch reaction of the latter with EtO2CD(S)NH2 gave the androstenylthiazole III (R1 = H), which was converted to III (R1 = HO2CCH2CH2CO; β-D-glucopyranosyl).

IT 23328-05-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and enolization-silylation of)

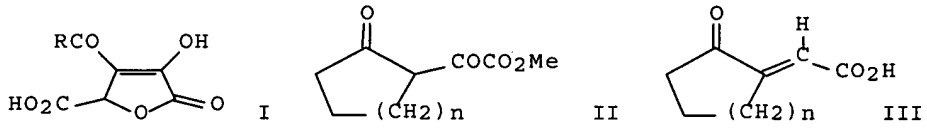
RN 23328-05-4 CAPLUS

CN Pregn-5-en-20-one, 3-(methoxymethoxy)-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L21 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1984:191667 CAPLUS Full-text
DOCUMENT NUMBER: 100:191667
TITLE: Condensation of α,γ-diketo (or ketosuccinic) esters with glyoxylic acid
AUTHOR(S): Bonadies, Francesco; Scarpati, Maria Luisa
CORPORATE SOURCE: Ist. Chim. Org., Univ. Roma "La Sapienza", Rome, I-00185, Italy
SOURCE: Gazzetta Chimica Italiana (1983), 113(7-8), 421-5
CODEN: GCITA9; ISSN: 0016-5603
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 100:191667
GI



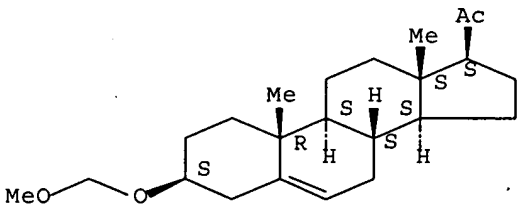

AB Cyclocondensation of RCOCH:C(OH)CO2Me [R = Me, Me2CH, Me2CHCH2, Ph. OEt, 3β-(methoxymethoxy)androst-5-en-17β-yl] with NaO2CCHO gave butenolides I, which underwent elimination reaction in the presence of Zn(OAc)2 to give RCOCH2CH(OH)CO2H in 45-87% yields. Condensation of β-substituted dioxo esters, i.e. cycloalkanones II (n = 1, 2), with NaO2CCHO gave α,β-unsatd. acids, i.e. acrylic acids III.

IT 23328-05-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with di-Me oxalate)

RN 23328-05-4 CAPLUS

CN Pregn-5-en-20-one, 3-(methoxymethoxy)-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



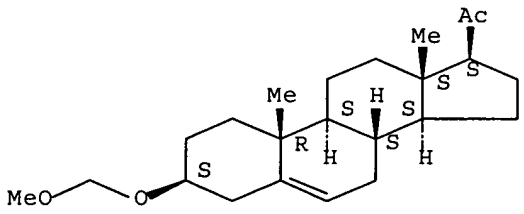

L21 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:5735 CAPLUS Full-text
DOCUMENT NUMBER: 96:5735

TITLE: Alkyl-, aryl-, vinyl-, and heterosubstituted organozirconium compounds - selective nucleophiles of low basicity
AUTHOR(S): Weidmann, Beat; Maycock, Christopher D.; Seebach, Dieter
CORPORATE SOURCE: Lab. Org. Chem., Swiss Fed. Inst. Technol., Zurich, CH-8092, Switz.
SOURCE: Helvetica Chimica Acta (1981), 64(5), 1552-7
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 96:5735

AB Solns. of the title compds. are accessible from organolithium reagents and trialkoxyzirconium chloride. In contrast to their Ti analogs, vinylzirconium reagents are stable enough to be employed. Generally, organozirconium reagents are highly selective carbonylophiles of exceedingly low basicity for aldehydes and ketones.

IT 23328-05-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with organozirconium compds.)
RN 23328-05-4 CAPLUS
CN Pregn-5-en-20-one, 3-(methoxymethoxy)-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



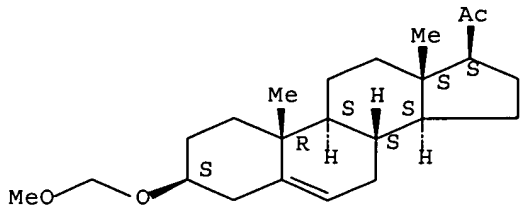

L21 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1970:435622 CAPLUS Full-text
DOCUMENT NUMBER: 73:35622
TITLE: Steroids and related natural products. XLVIII. Bufadienolides. 1. Introduction and base-catalyzed condensation of methyl ketones with glyoxylic acid
AUTHOR(S): Pettit, George R.; Green, Brian; Dunn, George L.
CORPORATE SOURCE: Dep. of Chem., Arizona State Univ., Tempe, AZ, USA
SOURCE: Journal of Organic Chemistry (1970), 35(5), 1367-76
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A comprehensive study of an aldol condensation between glyoxylic acid and various Me ketones was described. At high hydroxyl ion concentration, methyl β-naphthyl ketone gave bis(β-naphthacyl)acetic acid but by careful control of pH the condensation could be directed to yield trans-β-naphthoylacrylic acid and (or) a mixture of α-hydroxy-γ-oxobutyric acid and α-methoxy-γ- oxobutyric acid. The reaction was applied to Me cyclopentyl ketone, 2,5-dimethoxyacetophenone, 2,4-dimethylacetophenone, pinonic acid, and the steroidal ketones, 3β-hydroxy-20-oxo-5-pregnene and 3β-hydroxy-20-oxo-5α-pregnane.

IT 23328-05-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 23328-05-4 CAPLUS
CN Pregn-5-en-20-one, 3-(methoxymethoxy)-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L21 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1963:53631 CAPLUS Full-text
DOCUMENT NUMBER: 58:53631
ORIGINAL REFERENCE NO.: 58:9193f-h,9194a-c
TITLE: Lower alkoxy)methyl ether derivatives of steroids
INVENTOR(S): Fried, Josef
PATENT ASSIGNEE(S): Olin Mathieson Chemical Corp.
SOURCE: 5 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 3062846 | | 19621106 | US 1961-106178 | 19610428 |

PRIORITY APPLN. INFO.: US 19610428
OTHER SOURCE(S): CASREACT 58:53631
GI For diagram(s), see printed CA Issue.
AB The preparation was described of a (lower alkoxy)methyl ether derivative of a steroid having an unhindered primary or secondary OH group by treating said steroid with a lower alkyl acetal of HCHO and HCHO (or a source of HCHO) in the presence of a strong-acid catalyst. By unhindered steroids was meant steroids containing an OH group at 1 or more of the following positions: 1α, 1β, 2α, 2β, 3α, 3β, 4α, 4β, 6α, 6β, 7α, 7β, 11α, 12α, 12β, 15α, 15β, 16α, 16β, 18, or 19; such steroids included those of the androstane series containing a 17α- or 17β-OH group and those of the pregnane series containing a 20α-, 20β-, or 21-OH group. The preferred steroids were those of the pregnane series, particularly those of the general formula I, wherein positions 1,2; 4,5; 5,6; and 6,7 are saturated or double-bonded, R is H, R is α-OH, α-acyl-oxy, β-OH, or β-acyloxy, or together R and R' is oxo, R is H, R''' is α-OH, α-acyloxy, or β-OH, or together R'' and R''' is oxo, the 2 X are the same or different and represent H, halo, or lower alkyl, at least 1 X being H, Y is H, OH, or acyloxy, Y' is H or Me, Y'' is H, halo, or Me, Z is H or OH, and Z' is H, halo, OH, acyloxy, or Me. (Ultraviolet spectra in EtOH; infrared spectra in Nujol mulls; [α]D in CHCl3). To 1 g. 3β-hydroxypregn-5-en- 20-one (Ia) suspended in 50 ml. CH2(OMe)2 (II) and 20 g. trioxane (III) was added 0.5 ml. 70% HClO4 with stirring, the resulting solution kept 20 min., treated with 6 m°. N NaOH, diluted with H2O, the II and III removed in vacuo, and the

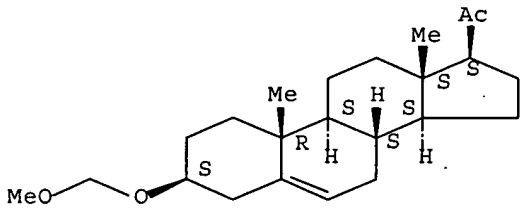
product in the residual suspension isolated with CHCl3 to give 1.18 g. 3-methoxymethyl ether derivative of Ia, m. 102-4° (Me2CO-hexane), [α]D 19° (c 1.32), γ 5.87 and 6.02. μ. The following addnl. compds. were prepared [compound, m.p., [α]23D, 11α(ε) γ(μ) given]: 11-methoxymethyl ether deriv, of 11α-hydroxyprogesterone, 138-9° (Me2CO-hexane), 169° (c 1.37), 241 (16,000), 5.91, 6.02, and 6.22; 21-methoxymethyl ether derivative of 9α-fluoro-17-hydroxycorticosterone, 288-94°, 43° (c 0.65), 238 (15,700), 2.91, 5.82, 6.0, and 6.15 (shoulder); 16α,21-bis(methoxymethyl) ether derivative of triamcinolone (IV), 218-19° (95% EtOH), 34° (c 1.1), --, 2.92, 5.81, 6.0, 6.14, 6.22; 16α-methoxymethyl ether derivative (V) of IV, 220-3°, 42° (c 0.52), --,2.95, 5.88, 6.02, 6.18, 6.23 [V 21-acetate m. 178-80° (Me2CO-hexane), [α]23D 32° (c 1.02), λ 239 mμ (ε 16,500), λ 2.92, 5.71, 5.79, 6.01, 6.18, 6.23 μ]; 16,21-bis(methoxymethyl) ether derivative of 9α-fluoro-16α-hydroxyprednisone, 139-40°, 83° (c 0.41), 234 (14,000), 3.03, 5.80, 6.01,6.17, 6.23; 16,21-bis(methoxymethyl) ether derivative of 16α,17α-dihydroxy-9α-fluorocorticosterone, 2130° 70° (c 0.43), 239 (15,500), 2.92, 5.84, 5.99, 6.15. The alkoxymethyl ether derivs. are used as intermediates, and those compds. which are alkoxymethyl ether derivs. of physiol. active steroids retain their activity and hence can be used for the same purpose as the parent compound

IT 23328-05-4P, Pregn-5-en-20-one, 3β-(methoxymethoxy)-
RL: PREP (Preparation)
(preparation of)

RN 23328-05-4 CAPLUS

CN Pregn-5-en-20-one, 3-(methoxymethoxy)-, (3β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




=> d his

(FILE 'HOME' ENTERED AT 10:17:43 ON 08 JAN 2007)

FILE 'REGISTRY' ENTERED AT 10:17:54 ON 08 JAN 2007
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 1 S L1 EXA FULL

FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 10:18:50 ON 08 JAN 2007
L4 9 S L3

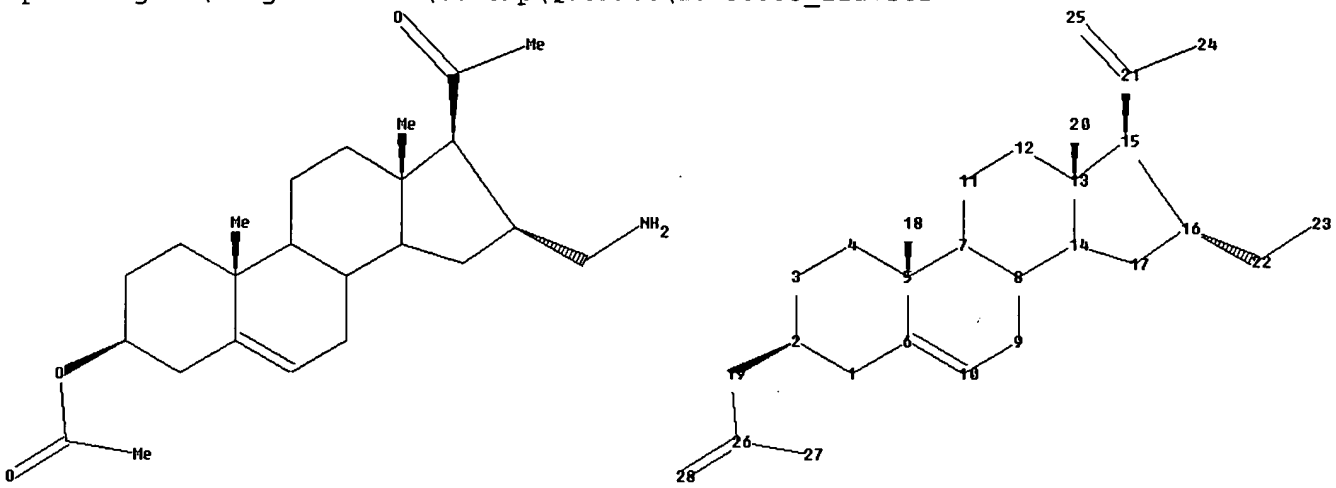
FILE 'REGISTRY' ENTERED AT 10:20:25 ON 08 JAN 2007
L5 STRUCTURE UPLOADED
L6 0 S L5
L7 1 S L5 EXA FULL

```
     FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 10:21:21 ON 08 JAN
     2007
L8             8 S L7

     FILE 'REGISTRY' ENTERED AT 10:22:43 ON 08 JAN 2007
L9               STRUCTURE UPLOADED
L10            1 S L9 EXA FULL

     FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 10:23:15 ON 08 JAN
     2007
L11            3 S L10

     FILE 'REGISTRY' ENTERED AT 10:26:09 ON 08 JAN 2007
L12              STRUCTURE UPLOADED
L13            2 S L12 EXA FULL

     FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 10:26:46 ON 08 JAN
     2007
L14           10 S L13

     FILE 'REGISTRY' ENTERED AT 10:29:49 ON 08 JAN 2007
L15              STRUCTURE UPLOADED
L16            1 S L15 EXA FULL

     FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 10:30:21 ON 08 JAN
     2007
L17            5 S L16

     FILE 'REGISTRY' ENTERED AT 10:31:52 ON 08 JAN 2007
L18              STRUCTURE UPLOADED
L19            1 S L18 EXA FULL

     FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 10:32:23 ON 08 JAN
     2007
L20           17 S L19
L21           13 S L20 NOT PY>2001

=>

---Logging off of STN---


=>
Executing the logoff script...


=> LOG Y

COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                77.45     657.17

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)      SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                               -10.14     -32.76

STN INTERNATIONAL LOGOFF AT 10:33:21 ON 08 JAN 2007
```

FILE 'HOME' ENTERED AT 10:13:11 ON 08 JAN 2007

COMPOUND III

=> **file registry**
=>
Uploading C:\Program Files\Stnexp\Queries\10758335_III.str



chain nodes :
18 19 20 21 22 23 24 25 26 27 28
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
2-19 5-18 13-20 15-21 16-22 19-26 21-24 21-25 22-23 26-27 26-28
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-14 9-10 11-12 12-13
13-14 13-15 14-17 15-16 16-17
exact/norm bonds :
1-2 1-6 2-3 2-19 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-14 9-10 11-12
12-13 13-14 13-15 14-17 15-16 16-17 19-26 21-25 22-23 26-28
exact bonds :
5-18 13-20 15-21 16-22 21-24 26-27

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS 21:CLASS
22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS

Stereo Bonds:

18-5 (Single Wedge).
19-2 (Single Wedge).
20-13 (Single Wedge).
21-15 (Single Wedge).
22-16 (Single Hash).

Stereo Chiral Centers:

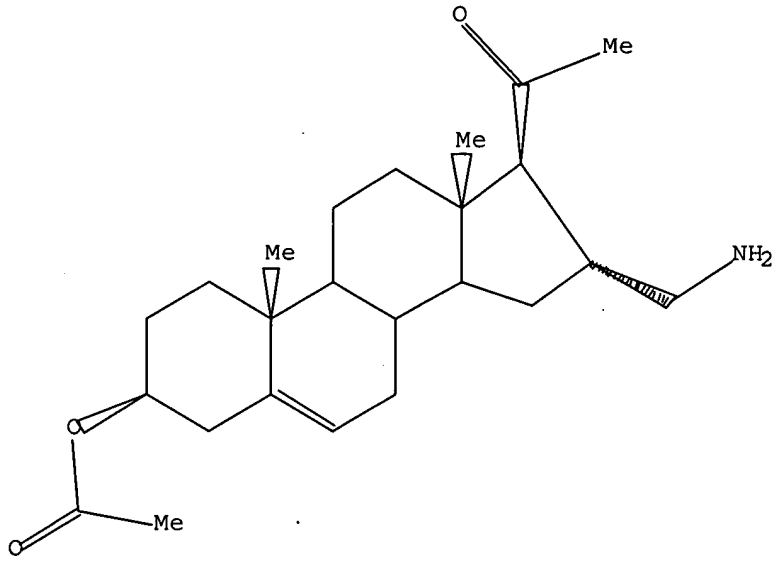
2 (Parity=Odd)
5 (Parity=Even)
13 (Parity=Even)
15 (Parity=Odd)

16 (Parity=Even)

Stereo RSS Sets:

Type=Relative (Default). 5 Nodes= 2 5 13 15 16
L1 STRUCTURE UPLOADED

=> **d l1**
L1 HAS NO ANSWERS
L1 STR




Structure attributes must be viewed using STN Express query preparation.

=> **s l1**
SAMPLE SEARCH INITIATED 10:13:44 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 9 TO 360
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> **s l1 exa full**
FULL SEARCH INITIATED 10:13:50 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 18 TO ITERATE

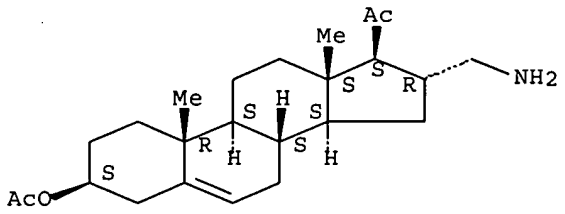
100.0% PROCESSED 18 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

L3 1 SEA EXA FUL L1

=> **d 13**

L3 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN
RN 404886-31-3 REGISTRY
ED Entered STN: 10 Apr 2002
CN Pregn-5-en-20-one, 3-(acetyloxy)-16-(aminomethyl)-, (3β,16α)-
(9CI) (CA INDEX NAME)
FS STEREOSEARCH
DR 23738-13-8
MF C24 H37 N O3
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.




**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> **file medline, caplus, wpids, uspatfull**

=> **s l3**
SAMPLE SEARCH INITIATED 10:14:19 FILE 'WPIDS'
SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 0 TO 0
PROJECTED ANSWERS: 0 TO 0

L4 3 L3

=> **d 14 1-3 ibib, abs**

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:221159 CAPLUS Full-text
DOCUMENT NUMBER: 136:257280
TITLE: Methods and compositions that affect melanogenesis
INVENTOR(S): Orlow, Seth J.; Hall, Andrea; Manga, Prashiela
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 63 pp., Cont.-in-part of U. S. Ser. No. 599,487.

APPLICANT

CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2002034772 | A1 | 20020321 | US 2001-827428 | 20010406 |
| WO 2002098347 | A2 | 20021212 | WO 2002-US11067 | 20020408 |
| WO 2002098347 | A3 | 20030501 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | |
| EP 1383474 | A2 | 20040128 | EP 2002-776548 | 20020408 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004529975 | T | 20040930 | JP 2003-501389 | 20020408 |
| TW 235659 | B | 20050711 | TW 2002-91107018 | 20020408 |
| US 2004175767 | A1 | 20040909 | US 2004-758335 | 20040115 |
| US 2006188953 | A1 | 20060824 | US 2006-408108 | 20060420 |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US 1999-141563P | P | 19990629 |
| US 2000-599487 | A2 | 20000623 |
| US 2001-827428 | A | 20010406 |
| WO 2002-US11067 | W | 20020408 |
| US 2004-758335 | A3 | 20040115 |

AB The invention provides methods of screening for compds. that affect melanogenesis and the function of P protein in organisms, cells, or cell-free systems. The invention further relates to pharmacol. and cosmetic uses of methods of inhibiting melanogenesis, methods of activating melanogenesis, and compds. and pharmacol. compns. useful for the inhibition or activation of melanogenesis and, therefore, for lightening or darkening the pigmentation of cells and tissue, i.e., skin.

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1969:481610 CAPLUS Full-text
DOCUMENT NUMBER: 71:81610
TITLE: Pyrrolidine steroids
AUTHOR(S): Kocor, Marian; Kroszczynski, Wojciech
CORPORATE SOURCE: Polska Akad. Nauk, Warsaw, Pol.
SOURCE: Roczniki Chemii (1969), 43(4), 783-90
CODEN: ROCHAC; ISSN: 0035-7677
DOCUMENT TYPE: Journal
LANGUAGE: Polish
GI For diagram(s), see printed CA Issue.

AB Synthesis of new steroid derivs. containing pyrroline or pyrrolidine ring condensed with the D-ring of steroid skeleton was given. Thus, a solution of 7.5 g. 16α-nitromethyl-5-pregnene-3β-ol-20-one acetate (I, R = Ac), 6 ml. (CH2OH)2 and 0.2 g. p-toluenesulfonic acid in 300 ml. dry C6H6 was refluxed 5 days with a Dean-Stark azeotropic trap, washed with 5% aqueous NaHCO3 and H2O, and evaporated to give 7.5 g. 16α-nitromethyl-20- ethylenedioxy-5-pregnen-3β-ol acetate (II, R = Ac) (III), m. 177-8°, [α]205800 -63° (c 1, CHCl3). When refluxed 30 min. in 100 ml. MeOH with 10 ml. aqueous solution containing 2 g.

K2CO3, then diluted with 100 ml. H2O and extracted with Et2O, 2 g. III afforded 1.5 g. II (R = H), m. 170-1° (MeOH and 0.1% pyridine), [α]205800 -57° (c 1.1, CHCl3). A solution of 1 g. II (R = H) in 50 ml. Et2O or tetrahydrofuran was treated at 0° with 0.6 g. LiAlH4 in 50 ml. of the above solvent, then refluxed 1 hr., and treated at 0° with 2N NaOH to give 0.55 g. 16α-aminomethyl-20-ethylenedioxy-5-pregnen-3β-ol (IV), m. 161-4° (Et2O), [α]205800 -73° (c 1, CHCl3). A solution of 2 g. IV in 30 ml. MeOH and a small amount of 2N HCl kept a few hrs., then diluted with H2O and evaporated gave 1.6 g. 16α-aminomethyl-5- pregnen-3β-ol-20-one (V), acetate m. 164-6°, [α]205800 1° (c 1, CHCl3). Oppenauer oxidation of 2 g. III in 70 ml. PhMe with 15 ml. cyclohexanone and 1 g. Al(OPr-iso)3 in 20 ml. PhMe afforded 1.3 g. 16α-nitromethyl-20-ethylenedioxy-4-pregnen-3-one (VI), m. 146-8° (MeOH and 0.1% C5H5N), [α]205800 36° (c 1, CHCl3). Acid hydrolysis of VI, or oxidation according to Oppenauer of I (R = H) led to 16α-nitromethylprogesterone (VII), m. 146-8°, [α]205800 145° (c 1, CHCl3). Ketalization of 1 g. VII yielded 66% 16α-nitromethyl-3,20-bis(ethylenedioxy)-5-pregnene (VIII), m. 235-8°, [α]205800 -51° (c 1.9, CHCl3). Reduction of 1 g. VIII with LiAlH4 gave 0.65 g. 16α-aminomethyl-3,20- bis(ethylenedioxy)-5-pregnene (IX), m. 207-10°, [α]205800 -58° (c 1, CHCl3). A solution of 1 g. V.HCl in 50 ml. tert-BuOH and 2 g. tert-BuOK was refluxed 10 hrs., diluted with 50 ml. H2O and extracted with C6H6. The extract was evaporated and the dry residue chromatographed on Al2O3 and eluted with C6H6-CHCl3 to give 0.52 g. 3β-hydroxy-5-androsteno[17,16-c]-2-methyl-1-pyrroline (X), m. 243-5°, [α]205800 -114° (c 1.1, CHCl3). Oppenauer oxidation of 1 g. X gave 0.25 g. 3-oxo-4-androsteno[17,16-c]-2-methyl-1-pyrroline (XI), m. 168-9°, [α]205800 28° (c 1, CHCl3). When acetylated, XI yielded almost quant. 16α-(acetamidomethyl)-17-iso-5-pregnen- 3β-ol-20-one acetate, m. 186-8° (aqueous MeOH), [α]205800 128° (c 1.1, CHCl3). A solution of 1 g. X.HClO4 in 50 ml. MeOH was treated with 0.4 g. NaBH4 in 20 ml. MeOH, stirred 1 hr. at room temperature, diluted with H2O and evaporated The residue was subjected to countercurrent extraction with 1:1:1 C6H6-MeOH-H2O to give 340 mg. 3β-hydroxy-5- androsteno[17,16-c]-2-methylpyrrolidine (XII), m. 209-11° (MeOH), [α]205800 -75° (c 1.1, CHCl3).

L4 ANSWER 3 OF 3 USPATFULL on STN

APPLICANT

| | |
|---|---|
| ACCESSION NUMBER: | 2002:60938 USPATFULL Full-text |
| TITLE: | Methods and compositions that affect melanogenesis |
| INVENTOR(S): | Orlow, Seth J., New York, NY, UNITED STATES<br>Hall, Andrea, New York, NY, UNITED STATES<br>Manga, Prashiela, New York, NY, UNITED STATES |

| | NUMBER | KIND | DATE |
|---|---|---|---|
| PATENT INFORMATION: | US 2002034772 | A1 | 20020321 |
| APPLICATION INFO.: | US 2001-827428 | A1 | 20010406 (9) |
| RELATED APPLN. INFO.: | Continuation-in-part of Ser. No. US 2000-599487, filed on 23 Jun 2000, PENDING | | |

| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | US 1999-141563P | 19990629 (60) |

| | |
|---|---|
| DOCUMENT TYPE: | Utility |
| FILE SEGMENT: | APPLICATION |
| LEGAL REPRESENTATIVE: | ANN-LOUISE KERNER, PH.D., HALE AND DORR LLP, 60 STATE STREET, BOSTON, MA, 02109 |
| NUMBER OF CLAIMS: | 92 |
| EXEMPLARY CLAIM: | 1 |

NUMBER OF DRAWINGS: 19 Drawing Page(s)
LINE COUNT: 4216
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The invention provides methods of screening for compounds that affect melanogenesis and the function of P protein in organisms, cells, or cell-free systems. The invention further relates to pharmacologic and cosmetic uses of methods of inhibiting melanogenesis, methods of activating melanogenesis, and compounds and pharmacologic compositions useful for the inhibition or activation of melanogenesis and, therefore, for lightening or darkening the pigmentation of cells and tissue, i.e., skin.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> **d his**

(FILE 'HOME' ENTERED AT 10:13:11 ON 08 JAN 2007)

FILE 'REGISTRY' ENTERED AT 10:13:25 ON 08 JAN 2007
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 1 S L1 EXA FULL

FILE 'MEDLINE, CAPLUS, WPIDS, USPATFULL' ENTERED AT 10:14:14 ON 08 JAN 2007
L4 3 S L3

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 14.59 | 75.00 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -1.56 | -1.56 |

STN INTERNATIONAL LOGOFF AT 10:16:22 ON 08 JAN 2007

# EAST Search History

| Ref # | Hits | Search Query | DBs | Default Operator | Plurals | Time Stamp |
|---|---|---|---|---|---|---|
| L1 | 8 | "3389051" | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT | OR | OFF | 2007/01/08 11:13 |
| L2 | 3 | "6749940" | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT | OR | OFF | 2007/01/08 11:13 |
| L3 | 2 | "6749840" | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT | OR | OFF | 2007/01/08 11:13 |
| S1 | 1506 | "progesterone".clm. | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT | OR | OFF | 2006/12/29 16:11 |
| S2 | 11078 | "topical".clm. | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT | OR | OFF | 2006/12/29 16:11 |
| S3 | 118 | S1 and S2 | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT | OR | OFF | 2006/12/29 16:15 |
| S4 | 1266 | "phenothiazine".clm. | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT | OR | OFF | 2006/12/29 16:15 |
| S5 | 20 | S2 and S4 | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT | OR | OFF | 2006/12/29 16:17 |

# EAST Search History

| | | | | | | |
|---|---|---|---|---|---|---|
| S6 | 487 | (trifluoperazine or chlorpromazine or prochlorperazine).clm. | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT | OR | OFF | 2006/12/29 16:18 |
| S7 | 43 | S2 and S6 | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT | OR | OFF | 2006/12/29 16:25 |
| S8 | 361 | "sphingosine".clm. | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT | OR | OFF | 2006/12/29 16:25 |
| S9 | 22 | S2 and S8 | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT | OR | OFF | 2006/12/29 16:27 |
| S10 | 487 | (imipramine or nortriptyline or protriptyline or trimipramine or doxepin).clm. | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT | OR | OFF | 2006/12/29 16:28 |
| S11 | 39 | S2 and S10 | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT | OR | OFF | 2006/12/29 16:38 |
| S12 | 3 | UK204042 | US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT | OR | OFF | 2006/12/29 16:38 |